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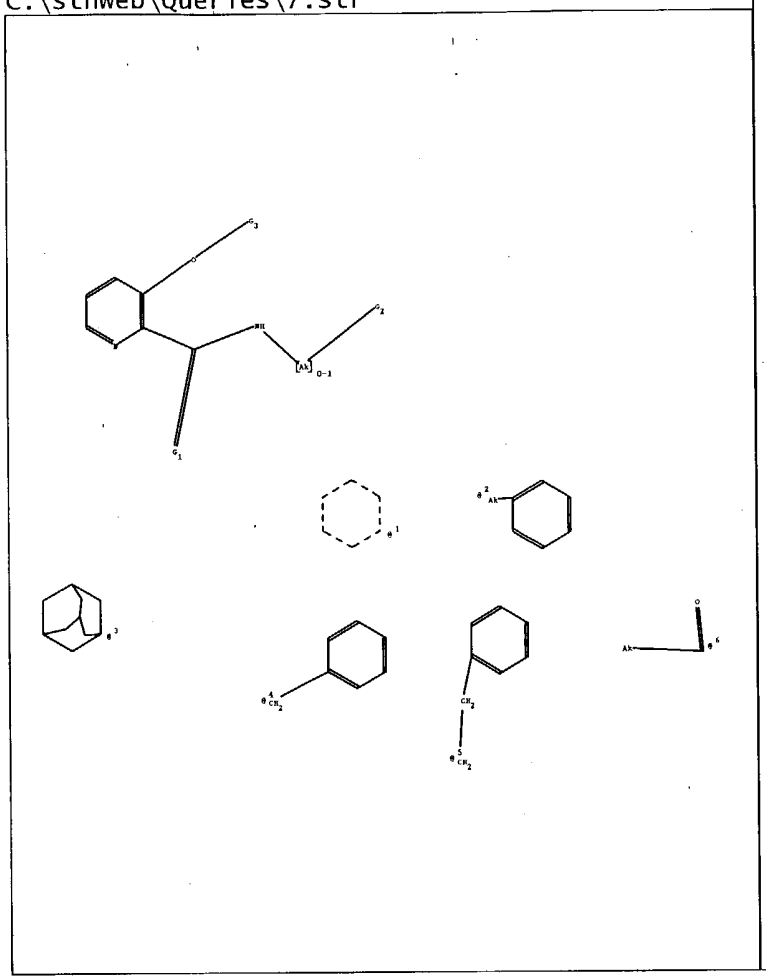

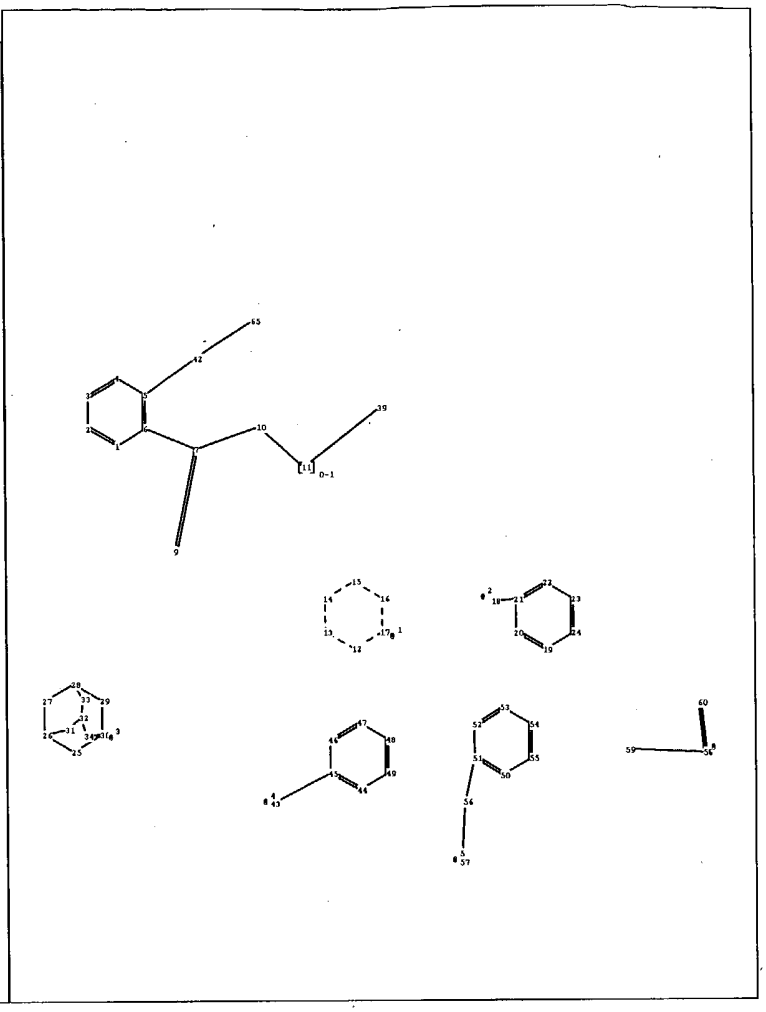

chain nodes :
7 9 10 11 18 39 42 43 56 57 58 59 60 65
ring nodes :
1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 29 30
31 32 33 34 44 45 46 47 48 49 50 51 52 53 54 55
chain bonds :
5-42 6-7 7-9 7-10 10-11 11-39 18-21 42-65 43-45 51-56 56-57 58-59 58-60
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24
20-21 21-22 22-23 23-24 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34
31-32 32-33 32-34 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53
53-54 54-55
exact/norm bonds :
5-42 7-9 7-10 10-11 11-39 12-13 12-17 13-14 14-15 15-16 16-17 18-21 42-65
58-59 58-60
exact bonds :
6-7 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34 31-32 32-33 32-34
43-45 51-56 56-57
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-24 20-21 21-22 22-23 23-24 44-45 44-49
45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55
isolated ring systems :
containing 1 : 19 : 25 : 44 : 50 :

G1:O,S

G2:[*1],[*2],[*3]

G3:Ak,H,[*4],[*5],[*6]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:Atom
22:Atom

23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 33:Atom 34:Atom 39:CLASS 42:CLASS 43:CLASS 44:Atom 45:Atom 46:Atom
47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS
57:CLASS 58:CLASS 59:CLASS 60:CLASS 65:CLASS

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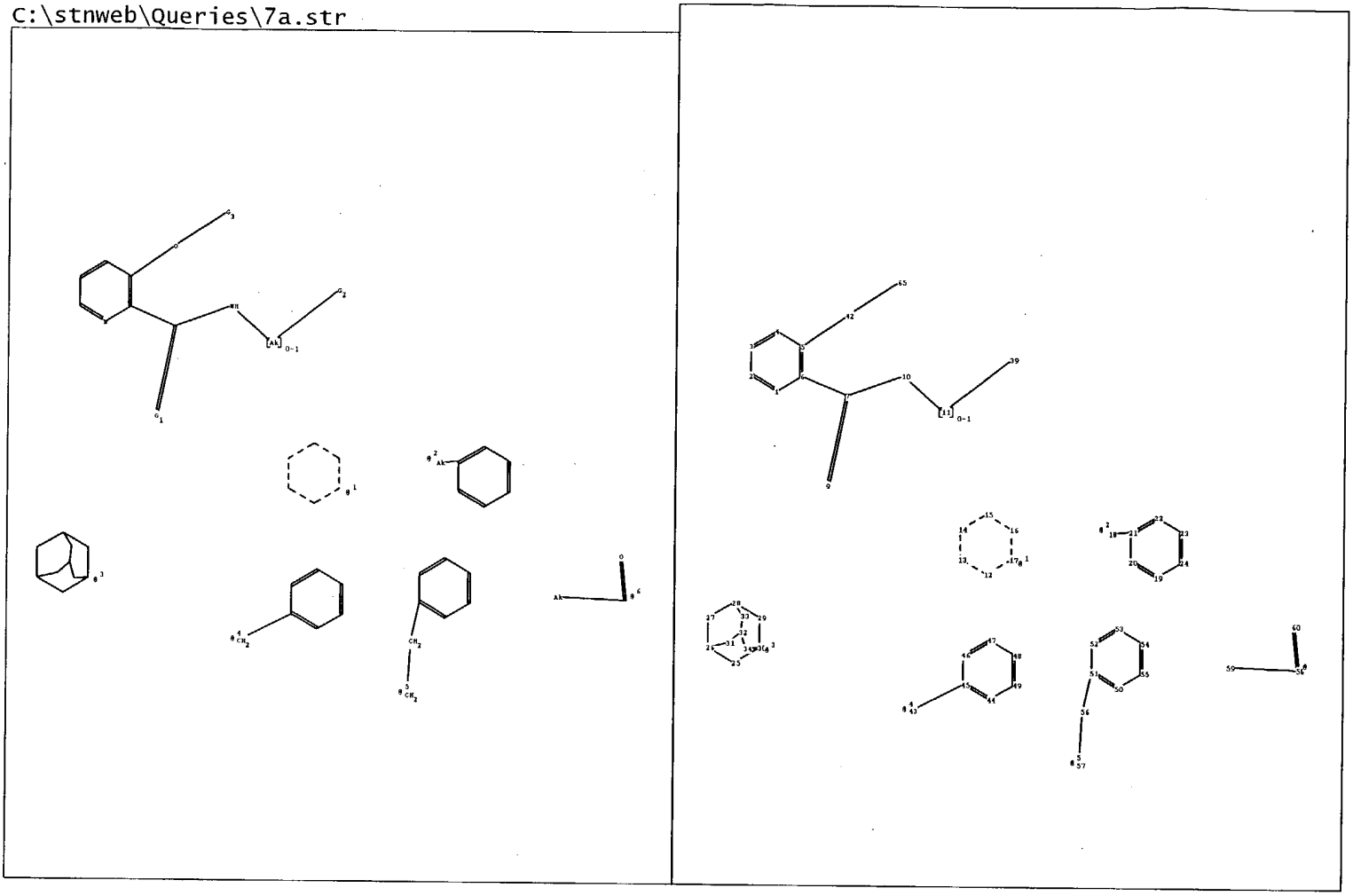

chain nodes :
7 9 10 11 18 39 42 43 56 57 58 59 60 65
ring nodes :
1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 29 30
31 32 33 34 44 45 46 47 48 49 50 51 52 53 54 55
chain bonds :
5-42 6-7 7-9 7-10 10-11 11-39 18-21 42-65 43-45 51-56 56-57 58-59 58-60
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24
20-21 21-22 22-23 23-24 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34
31-32 32-33 32-34 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53
53-54 54-55
exact/norm bonds :
5-42 7-9 7-10 10-11 11-39 12-13 12-17 13-14 14-15 15-16 16-17 18-21 25-26
25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34 31-32 32-33 32-34 42-65 58-59
58-60
exact bonds :
6-7 43-45 51-56 56-57
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-24 20-21 21-22 22-23 23-24 44-45 44-49
45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55

G1:O,S

G2:[*1],[*2],[*3]

G3:Ak,H,[*4],[*5],[*6]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 33:Atom 34:Atom 39:CLASS 42:CLASS 43:CLASS 44:Atom 45:Atom 46:Atom
47:Atom

48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS
57:CLASS 58:CLASS 59:CLASS 60:CLASS 65:CLASS

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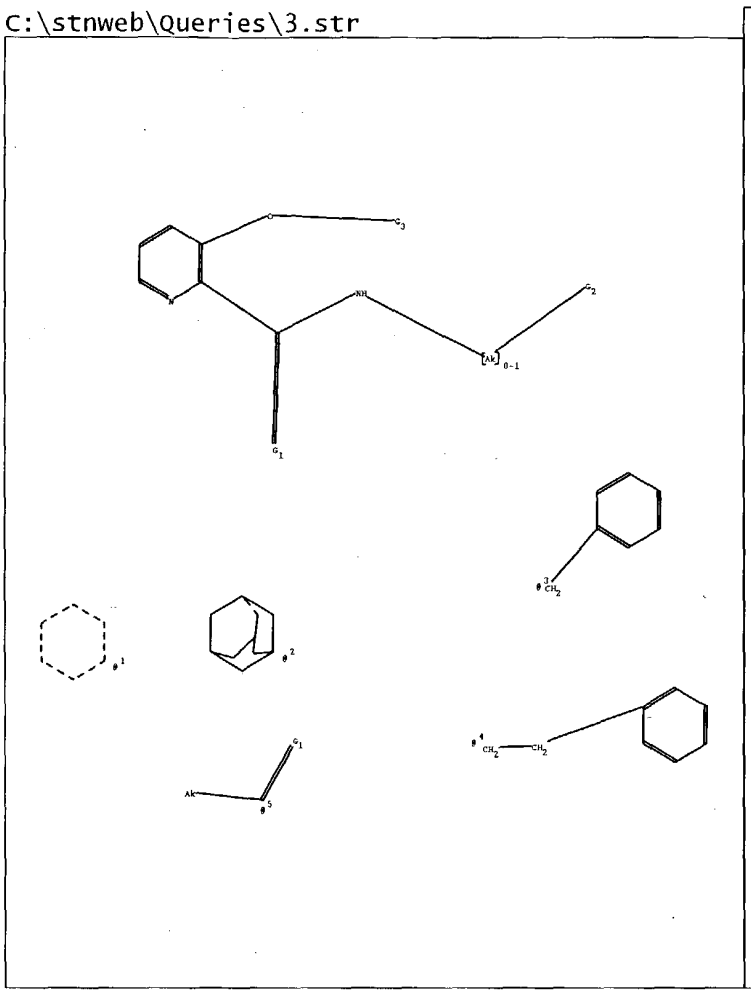

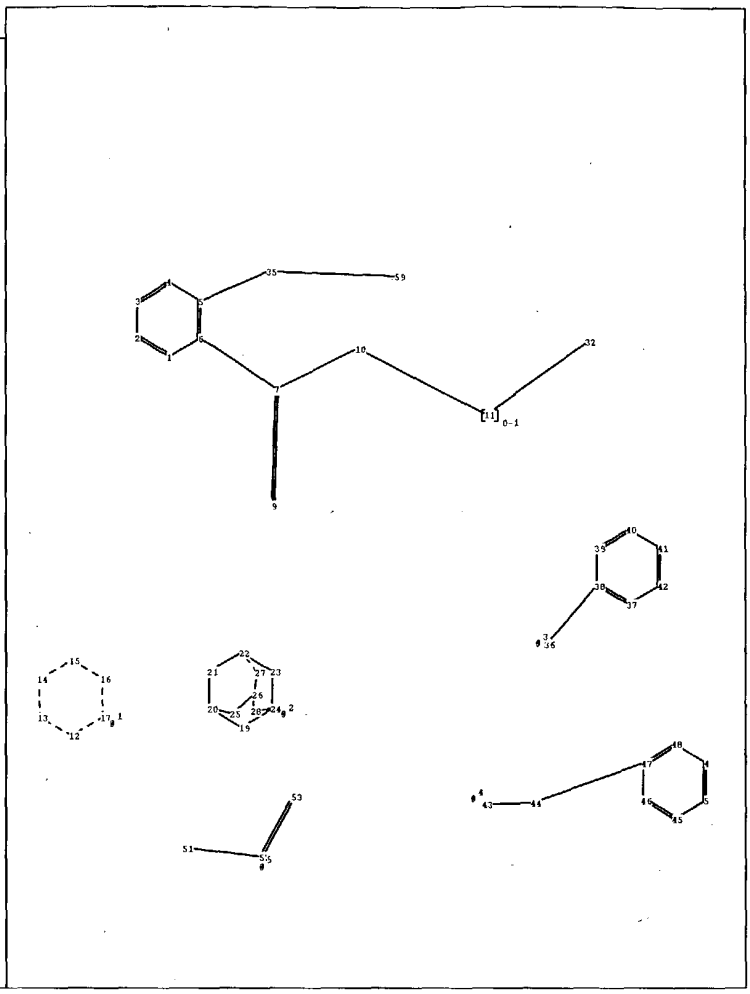

chain nodes :
7 9 10 11 32 35 36 43 44 51 52 53 59
ring nodes :
1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 37 38
39 40 41 42 45 46 47 48 49 50
chain bonds :
5-35 6-7 7-9 7-10 10-11 11-32 35-59 36-38 43-44 44-47 51-52 52-53
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24
20-21 20-25 21-22 22-23 22-27 23-24 24-28 25-26 26-27 26-28 37-38 37-42 38-39
39-40 40-41 41-42 45-46 45-50 46-47 47-48 48-49 49-50
exact/norm bonds :
5-35 7-9 7-10 10-11 11-32 12-13 12-17 13-14 14-15 15-16 16-17 22-27 35-59
51-52 52-53
exact bonds :
6-7 19-20 19-24 20-21 20-25 21-22 22-23 23-24 24-28 25-26 26-27 26-28 36-38
43-44 44-47
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 37-38 37-42 38-39 39-40 40-41 41-42 45-46 45-50
46-47 47-48 48-49 49-50
isolated ring systems :
containing 1 : 12 : 19 : 37 : 45 :

G1:O,S

G2:[*1],[*2]

G3:H,Ak,[*3],[*4],[*5]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 32:CLASS 35:CLASS 36:CLASS
37:Atom

38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:CLASS 44:CLASS 45:Atom
46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS 52:CLASS 53:CLASS 59:CLASS

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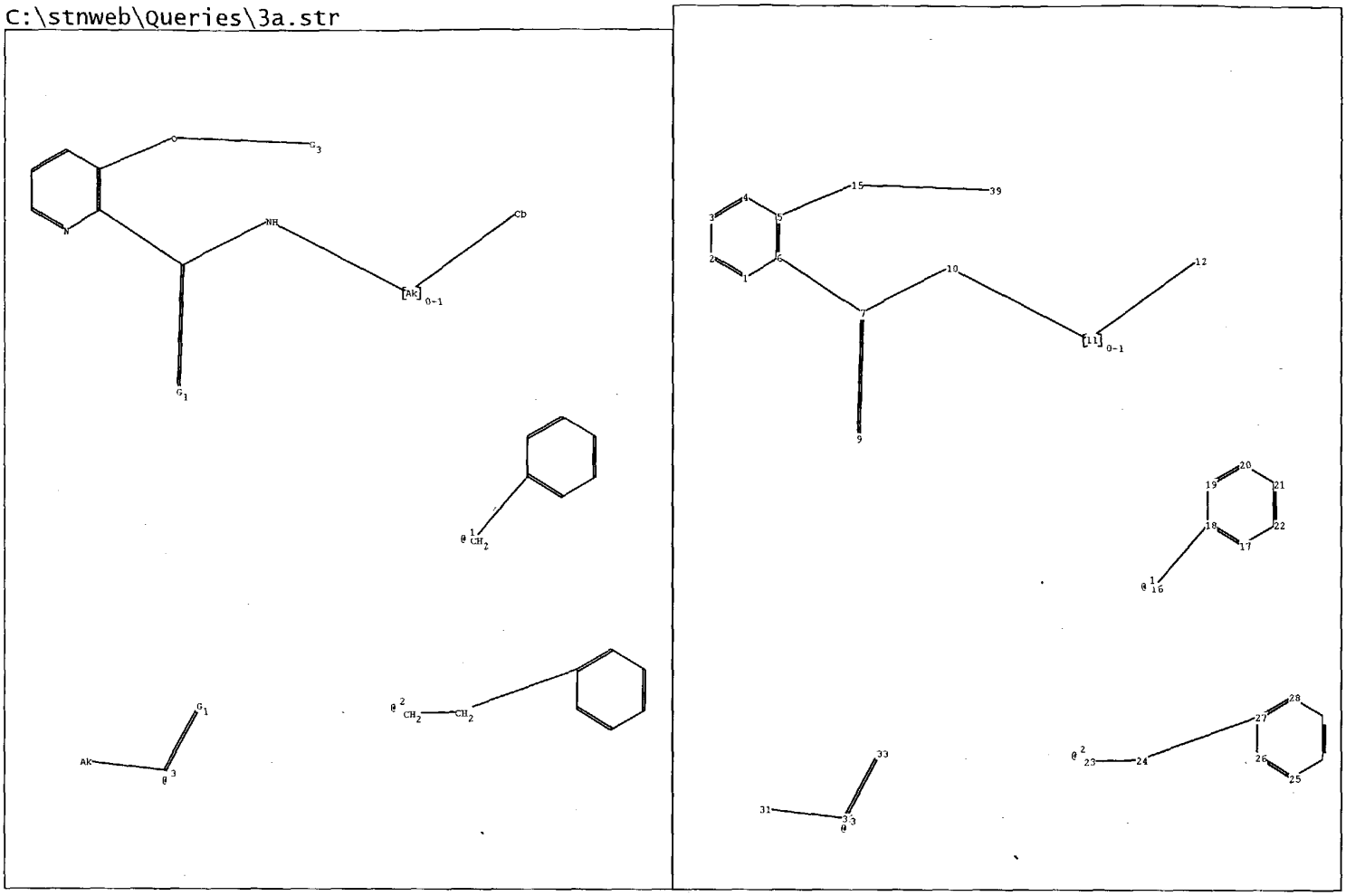


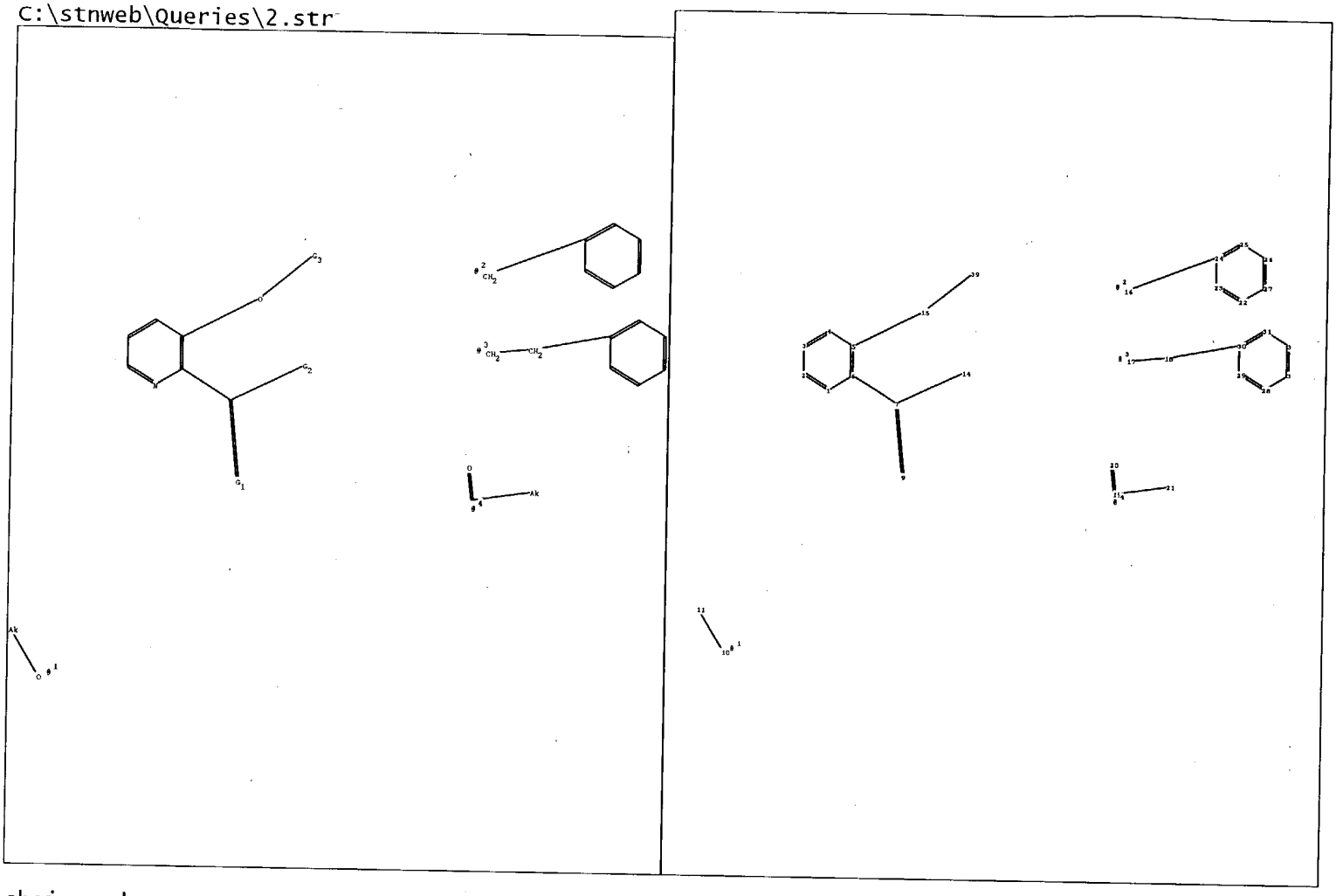
chain nodes :
7 9 10 11 12 15 16 23 24 31 32 33 39
ring nodes :
1 2 3 4 5 6 17 18 19 20 21 22 25 26 27 28 29 30
chain bonds :
5-15 6-7 7-9 7-10 10-11 11-12 15-39 16-18 23-24 24-27 31-32 32-33
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22 25-26 25-30
26-27 27-28 28-29 29-30
exact/norm bonds :
5-15 7-9 7-10 10-11 11-12 15-39 31-32 32-33
exact bonds :
6-7 16-18 23-24 24-27
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22 25-26 25-30
26-27 27-28 28-29 29-30

G1:O,S

G3:H,Ak,[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
12:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS
32:CLASS 33:CLASS 39:CLASS

C:\stnweb\Queries\2.str



chain nodes :
7 9 10 11 14 15 16 17 18 19 20 21 39
ring nodes :
1 2 3 4 5 6 22 23 24 25 26 27 28 29 30 31 32 33
chain bonds :
5-15 6-7 7-9 7-14 10-11 15-39 16-24 17-18 18-30 19-20 19-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 22-23 22-27 23-24 24-25 25-26 26-27 28-29 28-33
29-30 30-31 31-32 32-33
exact/norm bonds :
5-15 7-9 7-14 10-11 15-39 19-20 19-21
exact bonds :
6-7 16-24 17-18 18-30
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 22-23 22-27 23-24 24-25 25-26 26-27 28-29 28-33
29-30 30-31 31-32 32-33
isolated ring systems :
containing 1 : 22 : 28 :

G1:O,S

G2:OH,X,[*1]

G3:H,[*2],[*3],[*4]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
33:Atom 39:CLASS

```
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 NEWS  1            Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2            "Ask CAS" for self-help around the clock
 NEWS  3  JUL 12    BEILSTEIN enhanced with new display and select options,
                    resulting in a closer connection to BABS
 NEWS  4  AUG 02    IFIPAT/IFIUDB/IFICDB reloaded with new search and display
                    fields
 NEWS  5  AUG 02    CAplus and CA patent records enhanced with European and Japan
                    Patent Office Classifications
 NEWS  6  AUG 02    The Analysis Edition of STN Express with Discover!
                    (Version 7.01 for Windows) now available
 NEWS  7  AUG 27    BIOCOMMERCE: Changes and enhancements to content coverage
 NEWS  8  AUG 27    BIOTECHABS/BIOTECHDS: Two new display fields added for legal
                    status data from INPADOC
 NEWS  9  SEP 01    INPADOC: New family current-awareness alert (SDI) available
 NEWS 10  SEP 01    New pricing for the Save Answers for SciFinder Wizard within
                    STN Express with Discover!
 NEWS 11  SEP 01    New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
 NEWS 12  SEP 27    STANDARDS will no longer be available on STN
 NEWS 13  SEP 27    SWETSCAN will no longer be available on STN
 NEWS 14  OCT 28    KOREAPAT now available on STN

 NEWS EXPRESS   OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
                MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
                AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS INTER     General Internet Information
 NEWS LOGIN     Welcome Banner and News Items
 NEWS PHONE     Direct Dial and Telecommunication Network Access to STN
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FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004

=> file reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     5 NOV 2004  HIGHEST RN 775356-23-5
DICTIONARY FILE UPDATES:    5 NOV 2004  HIGHEST RN 775356-23-5
```

h eb c g cg b cg eb

```
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L1      STRUCTURE UPLOADED

=> s l1
GENERIC GROUP NOT VALID HERE
Generic groups may not be used in these circumstances:

       1.  Any generic group node (e.g., Hy) in a ring.
       2.  An Ak node attached to another Ak node.

=>
L2      STRUCTURE UPLOADED

=> s l2
GENERIC GROUP NOT VALID HERE
Generic groups may not be used in these circumstances:

       1.  Any generic group node (e.g., Hy) in a ring.
       2.  An Ak node attached to another Ak node.

=>
L3      STRUCTURE UPLOADED

=> l3
L3 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d l3
L3 HAS NO ANSWERS
L3              STR

=> s l3
SAMPLE SEARCH INITIATED 00:54:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    138 TO ITERATE

100.0% PROCESSED     138 ITERATIONS                          36 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          2056 TO     3464
PROJECTED ANSWERS:              360 TO     1080

L4           36 SEA SSS SAM L3
```

```
=> s l3 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:54:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   2439 TO ITERATE

100.0% PROCESSED    2439 ITERATIONS                              666 ANSWERS
SEARCH TIME: 00.00.01

L5          666 SEA SSS FUL L3

=>
L6      STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6              STR

=> s l6
SAMPLE SEARCH INITIATED 00:56:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    198 TO ITERATE

100.0% PROCESSED     198 ITERATIONS                               50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         3116 TO     4804
PROJECTED ANSWERS:             752 TO     1688

L7           50 SEA SSS SAM L6

=> s l6 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:57:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   3395 TO ITERATE

100.0% PROCESSED    3395 ITERATIONS                             1060 ANSWERS
SEARCH TIME: 00.00.01

L8         1060 SEA SSS FUL L6

=> d his

     (FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004)

     FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004
L1              STRUCTURE UPLOADED
L2              STRUCTURE UPLOADED
L3              STRUCTURE UPLOADED
L4           36 S L3
L5          666 S L3 FULL
L6              STRUCTURE UPLOADED
L7           50 S L6
L8         1060 S L6 FULL

=> s l8 not l5
L9         394 L8 NOT L5
```

```
=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   322.18     322.39

FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004
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FILE COVERS 1907 - 8 Nov 2004  VOL 141 ISS 20
FILE LAST UPDATED: 7 Nov 2004  (20041107/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l9
L10         23 L9

=> s l10 and  imamura, k?/au
          1317 IMAMURA, K?/AU
L11          1 L10 AND  IMAMURA, K?/AU

=> d l11, ibib abs fhitstr, 1

L11  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
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Full Text | Citing References

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ACCESSION NUMBER:          2000:314676  HCAPLUS
DOCUMENT NUMBER:           132:334362
TITLE:                     Preparation of picolinamide derivatives and pest
                           controllers containing the same as the active
                           ingredient
INVENTOR(S):               Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko;
                           Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu;
                           Kurihara, Hiroshi; Taniguchi, Makoto
PATENT ASSIGNEE(S):        Meiji Seika Kaisha, Ltd., Japan
SOURCE:                    PCT Int. Appl., 98 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:             Patent
LANGUAGE:                  Japanese
FAMILY ACC. NUM. COUNT:    1
PATENT INFORMATION:
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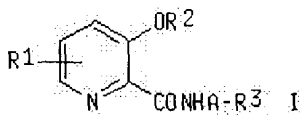
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026191	A1	20000511	WO 1999-JP6142	19991104

h eb c g cg b cg eb

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2353627	AA	20000511	CA 1999-2353627	19991104
EP 1134214	A1	20010919	EP 1999-954375	19991104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 771975	B2	20040408	AU 2000-10768	19991104
PRIORITY APPLN. INFO.:			JP 1998-313688 A	19981104
			WO 1999-JP6142 W	19991104

OTHER SOURCE(S): MARPAT 132:334362
GI

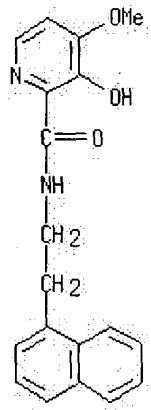


I

AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R1 is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or cyclohexyl or those wherein A is alkylene and R3 is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides contg. the same; and a process for the prepn. of the compds. Thus, a soln. of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxypicolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

IT **267415-81-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of picolinamide derivs. as pest controllers)
RN 267415-81-6 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[2-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

h eb c g cg b cg eb



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d his

     (FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004)

     FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004
L1             STRUCTURE UPLOADED
L2             STRUCTURE UPLOADED
L3             STRUCTURE UPLOADED
L4           36 S L3
L5          666 S L3 FULL
L6             STRUCTURE UPLOADED
L7           50 S L6
L8         1060 S L6 FULL
L9          394 S L8 NOT L5

     FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004
L10          23 S L9
L11           1 S L10 AND  IMAMURA, K?/AU

=> s l10 not l11
L12          22 L10 NOT L11

=> s l12 and mitomo, k?/au
            41 MITOMO, K?/AU
L13          0 L12 AND MITOMO, K?/AU

=> s l12 and yamada, n?/au
          3331 YAMADA, N?/AU
L14          0 L12 AND YAMADA, N?/AU

=> s l12 and yamamoto, k?/au
         16192 YAMAMOTO, K?/AU
L15          0 L12 AND YAMAMOTO, K?/AU

=> s l12 and teraoka, t?/au
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L16          0 L12 AND TERAOKA, T?/AU

=> s l12 and sakanaka, o?/au
            23 SAKANAKA, O?/AU
L17          0 L12 AND SAKANAKA, O?/AU
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h eb c g cg b cg eb

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=> s l12 and kurihara, h?/au
          1265 KURIHARA, H?/AU
L18          0 L12 AND KURIHARA, H?/AU

=> s l12 and taniguchi, m?/au
          3468 TANIGUCHI, M?/AU
L19          0 L12 AND TANIGUCHI, M?/AU

=> d l12, ibib abs fhitstr, 1-22
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L12 ANSWER 1 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

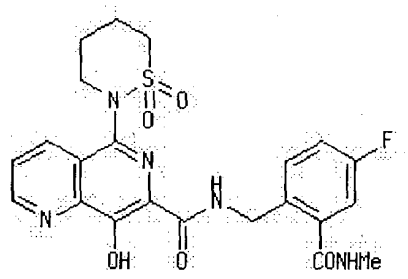
Full Text | Other References

ACCESSION NUMBER: 2004:780495 HCAPLUS
DOCUMENT NUMBER: 141:296002
TITLE: Preparation of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as an HIV integrase inhibitor
INVENTOR(S): Palucki, Michael; Askin, David; Angelico, Vincent J.; Wenslow, Robert M., Jr.
PATENT ASSIGNEE(S): Merck & Co. Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080402	A2	20040923	WO 2004-US6968	20040308

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-453896P P 20030312
GI



I

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AB A potassium salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide (I) was prepd. The compd. I potassium salt is an HIV integrase inhibitor useful for preventing or treating HIV infection, for delaying the onset of AIDS, and for treating AIDS. Thus, a 50-L flask equipped with a mech. stirrer, temp. probe, and nitrogen inlet was charged with dry DMF (16.3 L), 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (1.73 5 kg, 6.0 wt.% water), anhyd. HOBt (341 g), N-methyl-2-amino-5-fluorobenzenecarboxamide hydrochloride (1.32 kg), and NMM (456 g, 500 mL). The suspension was agitated at 20° until a homogeneous soln. was obtained and then cooled to 0-5°, treated with EDC (1.45 kg), and aged until complete conversion of the carboxylic acid was reached as detd. by HPLC (<0.5% the carboxylic acid, ~16 h) to give, after workup and drying, I (2.16 kg, 88% isolated yield, purity: >99.0 A% by HPLC assay). A 100 L cylinder equipped with a mech. stirrer, temp. probe, addn. funnel, and nitrogen inlet was charged with 4.2 kg I and EtOH (84 L) and then heated to 60°. To the resulting yellow suspension was added 866 mL 45 wt.% aq. KOH and the resulting yellow soln. was filtered through a 10 μm line filter into an adjacent 100 L flask. The soln. was seeded and heated at 60° for 3 h and then allowed to cool to room temp. overnight. The resulting slurry was cooled to 3-4°, filtered, and washed with 4 X 2 L of cold EtOH. The filter pot was placed under vacuum with a N stream to obtain I potassium salt as a cryst. ethanolate salt (purity >99.6 A% by HPLC assay, 6.8 wt.% ethanol by GC, and 0.5 wt.% water by Karl Fisher titrn.).

IT **761452-50-0P**

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(X-ray diffraction anal.; prepn. of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as HIV integrase inhibitor)

RN 761452-50-0 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 606080-42-6

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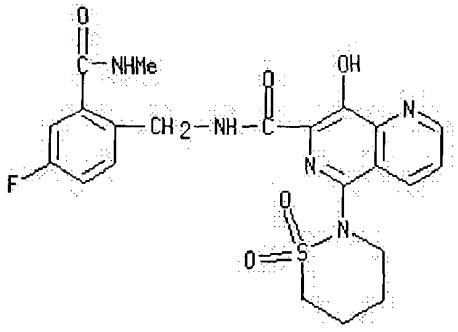

CM 2

CRN 64-17-5

CMF C2 H6 O

h eb c g cg b cg eb

H_3C-CH_2-OH

L12 ANSWER 2 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2004:686348 HCAPLUS
DOCUMENT NUMBER: 141:235759
TITLE: A naphthyridine carboxamide provides evidence for discordant resistance between mechanistically identical inhibitors of HIV-1 integrase
AUTHOR(S): Hazuda, Daria J.; Anthony, Neville J.; Gomez, Robert P.; Jolly, Samson M.; Wai, John S.; Zhuang, Linghang; Fisher, Thorsten E.; Embrey, Mark; Guare, James P., Jr.; Egbertson, Melissa S.; Vacca, Joseph P.; Huff, Joel R.; Felock, Peter J.; Witmer, Marc V.; Stillmock, Kara A.; Danovich, Robert; Grobler, Jay; Miller, Michael D.; Espeseth, Amy S.; Jin, Lixia; Chen, I-Wu; Lin, Jiunn H.; Kassahun, Kelem; Ellis, Joan D.; Wong, Bradley K.; Xu, Wei; Pearson, Paul G.; Schleif, William A.; Cortese, Riccardo; Emini, Emilio; Summa, Vincenzo; Holloway, M. Katharine; Young, Steven D.
CORPORATE SOURCE: Department of Biological Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2004), 101(31), 11233-11238
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The increasing incidence of resistance to current HIV-1 therapy underscores the need to develop antiretroviral agents with new mechanisms of action. Integrase, one of three viral enzymes essential for HIV-1 replication, presents an important yet unexploited opportunity for drug development. The authors describe here the identification and characterization of L-870,810, a small-mol. inhibitor of HIV-1 integrase with potent antiviral activity in cell culture and good pharmacokinetic properties. L-870,810 is an inhibitor with an 8-hydroxy-(1,6)-naphthyridine-7-carboxamide pharmacophore. The compd. inhibits HIV-1 integrase-mediated strand transfer, and its antiviral activity in vitro is a direct consequence of this ascribed effect on integration. L-870,810 is mechanistically identical to previously described inhibitors from the diketo acid series; however, viruses selected for resistance to L-870,810 contain mutations (integrase residues 72, 121, and 125) that uniquely confer resistance to the naphthyridine. Conversely, mutations assocd. with resistance to the diketo acid do not engender naphthyridine resistance. Importantly, the mutations assocd. with resistance to each of these inhibitors map to distinct regions within the integrase active site. Therefore, the authors propose a model of the two inhibitors that is consistent with this observation and suggests specific interactions with discrete binding sites for each ligand. These studies provide a structural basis and rationale for developing integrase inhibitors with the potential for unique and nonoverlapping resistance profiles.

IT **410544-95-5**, L-870810
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(naphthyridine carboxamide provides evidence for discordant resistance between mechanistically identical inhibitors of HIV-1 integrase in

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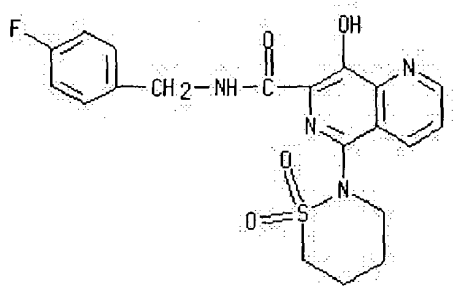
relation to pharmacokinetic properties)
RN 410544-95-5 HCAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | More References

ACCESSION NUMBER: 2004:587781 HCAPLUS
DOCUMENT NUMBER: 141:253713
TITLE: Integrase Inhibitors and Cellular Immunity Suppress Retroviral Replication in Rhesus Macaques
AUTHOR(S): Hazuda, Daria J.; Young, Steven D.; Guare, James P.; Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Vacca, Joseph P.; Handt, Larry; Motzel, Sherri L.; Klein, Hilton J.; Dornadula, Geethanjali; Danovich, Robert M.; Witmer, Marc V.; Wilson, Keith A. A.; Tussey, Lynda; Schleif, William A.; Gabryelski, Lori S.; Jin, Lixia; Miller, Michael D.; Casimiro, Danilo R.; Emini, Emilio A.; Shiver, John W.
CORPORATE SOURCE: Dep. Biological Chem., Merck Res. Laboratories, West Poing, PA, 19486, USA
SOURCE: Science (Washington, DC, United States) (2004), 305(5683), 528-532
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors describe the efficacy of L-870812, an inhibitor of HIV-1 and SIV integrase, in rhesus macaques infected with the simian-human immunodeficiency virus (SHIV) 89.6P. When initiated before CD4 cell depletion, L-870812 therapy mediated a sustained suppression of viremia, preserving CD4 levels and permitting the induction of virus-specific cellular immunity. L-870812 was also active in chronic infection; however, the magnitude and durability of the effect varied in conjunction with the pretreatment immune response and viral load. These studies demonstrate integrase inhibitor activity in vivo and suggest that cellular immunity facilitates chemotherapeutic efficacy in retroviral infections.

IT **410545-90-3**, L 870812
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(integrase inhibitors and cellular immunity suppress retroviral replication in rhesus macaques)
RN 410545-90-3 HCAPLUS
CN Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)

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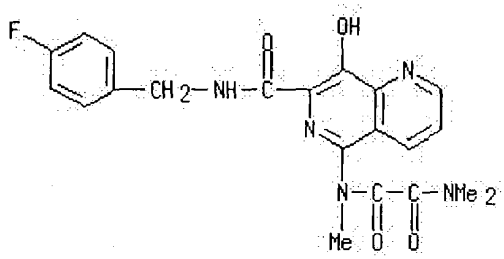

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2004:308423 HCAPLUS
DOCUMENT NUMBER: 140:332510
TITLE: Neurologically active heterocyclic compounds, their preparation, and their therapeutic use
INVENTOR(S): Kok, Gaik Beng; Leung, Brenda Kwan Yi; Gautier, Elisabeth Colette Louise; Barnham, Kevin Jeffrey
PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031161	A1	20040415	WO 2003-AU1303	20031003

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

AU 2002-951864	A	20021004
AU 2002-951865	A	20021004
AU 2002-951866	A	20021004
AU 2002-951868	A	20021004

OTHER SOURCE(S): MARPAT 140:332510

AB The invention discloses neurol.-active compds. which are heterocyclic compds. having two fused 6-membered rings with a nitrogen atom at position 1 and a hydroxy or mercapto group at position 8 with at least one ring being arom. Also disclosed are processes for the prepn. of these compds. and their use as pharmaceutical or veterinary agents, in particular for the treatment of neurol. conditions, more specifically neurodegenerative conditions such as Alzheimer's disease.

IT 679797-87-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

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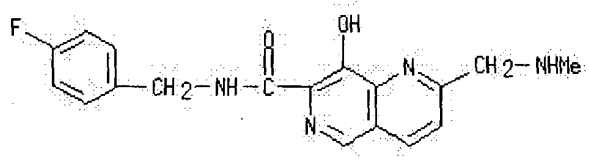
(Uses)
(neurol. active heterocyclic compds., prepn., and therapeutic use)
RN 679797-87-6 HCAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-2-[(methylamino)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Other Sources | References

ACCESSION NUMBER: 2004:203660 HCAPLUS
DOCUMENT NUMBER: 140:229445
TITLE: Method using heterocyclic carboxamides for preventing or treating atherosclerosis or restenosis
INVENTOR(S): Wathen, Michael W.; Wathen, Lynne K.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019933	A1	20040311	WO 2003-US26963	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004176366	A1	20040909	US 2003-651290	20030828
PRIORITY APPLN. INFO.:			US 2002-407563P	P 20020830
			US 2003-469630P	P 20030509

OTHER SOURCE(S): MARPAT 140:229445
AB The invention provides a method of preventing or treating atherosclerosis or restenosis in mammals, which comprises administering an effective amt. of a heterocyclic carboxamide.
IT 389796-61-6
RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic carboxamides for preventing or treating atherosclerosis

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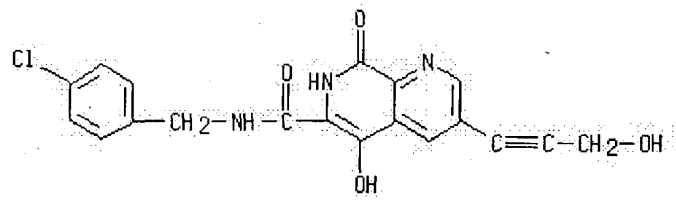
or restenosis)
RN 389796-61-6 HCAPLUS
CN 1,7-Naphthyridine-6-carboxamide, N-[(4-chlorophenyl)methyl]-7,8-dihydro-5-hydroxy-3-(3-hydroxy-1-propynyl)-8-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | More References

ACCESSION NUMBER: 2004:20782 HCAPLUS
DOCUMENT NUMBER: 140:62116
TITLE: Method of removal of carbonyl compounds along with acid gases from cracked gas in ethylene process
INVENTOR(S): Subramaniyam, Mahesh
PATENT ASSIGNEE(S): Dorf Ketal Chemicals India Pvt. Ltd., India
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004003110	A1	20040108	WO 2002-IN195	20020930

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-391717P P 20020626

AB A method for inhibiting and dissolving polymeric deposits on the internal surfaces of a caustic wash unit system in a hydrocarbon cracking process in which the deposits result from polymn. of ≥1 component contained within a feed stream of the caustic wash unit system. The method comprises the step of introducing into the stream an effective amt. of a compd. selected from a group consisting of alkali metal salts of oxo acids of S, alkali metal salts of acids of lactam, alkali metal salts of acids of sultam, alkali metal salts of amino acids, alk. earth metal salts of acids of sultam, alk. earth metal salts of amino acids, lactam, sultam, amino acids, and combinations thereof, in which the effective amt. inhibits polymn. and dissolves the deposits.

IT **411233-43-7**
RL: NUU (Other use, unclassified); TEM (Technical or engineered material

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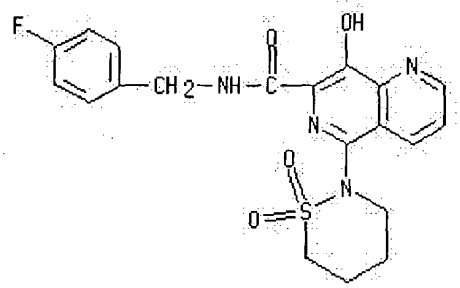
use); USES (Uses)
(method of removal of carbonyl compds. along with acid gases from cracked gas in ethylene process)

RN 411233-43-7 HCAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, compd. with ethanol (1:1) (9CI) (CA INDEX NAME)

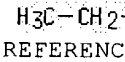
CM 1

CRN 410544-95-5
CMF C20 H19 F N4 O4 S



CM 2

CRN 64-17-5
CMF C2 H6 O



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:836794 HCAPLUS
DOCUMENT NUMBER: 139:341742
TITLE: Pharmaceutical compositions containing an HIV integrase inhibitor and a nonionic surfactant
INVENTOR(S): Robertson, Sandra; Cruanes, Maria T.; Karaborni, Sami; Ostovic, Drazen; Fu, Xi-yong; Kamali, Ashkan; Panmai, Santipharp; Plank, Russell V.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086319	A2	20031023	WO 2003-US7517	20030313
WO 2003086319	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-371296P P 20020410

OTHER SOURCE(S): MARPAT 139:341742

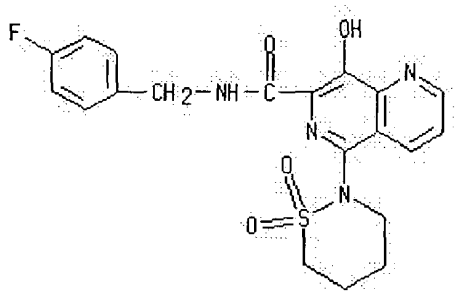
AB Pharmaceutical compns. comprise a therapeutically effective amt. of an 8-hydroxy-1,6-naphthyridine-7-carboxamide compd. or a pharmaceutically acceptable salt thereof and a nonionic surfactant. Compds. of this invention are HIV integrase inhibitors, and the pharmaceutical compns. are useful for preventing or treating HIV infection or for preventing, treating, or delaying the onset of AIDS. The pharmaceutical compns. are typically administered orally, for example, in the form of capsules or tablets, and can provide good oral bioavailability. Methods for prepg. encapsulated and tableted forms of the pharmaceutical compns. are described.

IT **410544-95-5P**

RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oral compns. contg. HIV integrase inhibitor and nonionic surfactant)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 8 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Patent Ref

ACCESSION NUMBER: 2003:757475 HCAPLUS

DOCUMENT NUMBER: 139:276879

TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS

INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark; Young, Steven D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

h eb c g cg b cg eb

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077857	A2	20030925	WO 2003-US7671	20030312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364929P P 20020315

OTHER SOURCE(S): MARPAT 139:276879

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutically acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating infection by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl3, O-tosylation in CHCl3, condensation of the bromide with 1,4-butanesultam in DMF in the presence of Cu2O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC50 < 0.5 μM. The same compds. inhibited HIV replication in T-lymphoid cells with IC95 < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT **606080-42-6P**, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-42-6 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

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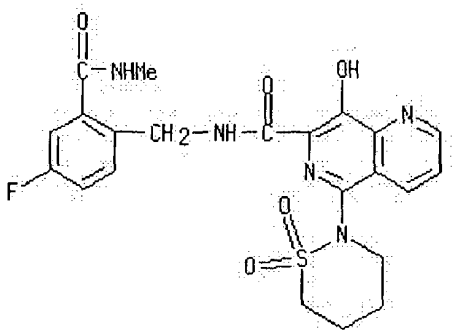


L12 ANSWER 9 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | More References

ACCESSION NUMBER: 2003:757471 HCAPLUS
DOCUMENT NUMBER: 139:276878
TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS
INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077850	A2	20030925	WO 2003-US7448	20030312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364929P P 20020315
OTHER SOURCE(S): MARPAT 139:276878
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4

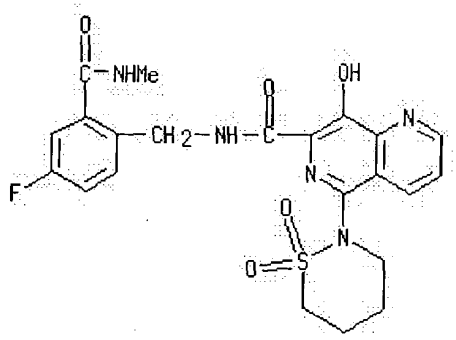
h eb c g cg b cg eb

heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutical acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating infection by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl3, O-tosylation in CHCl3, condensation of the bromide with 1,4-butanesultam in DMF in the presence of Cu2O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC50 < 0.5 μM. The same compds. inhibited the replication of HIV in T-lymphoid cells with IC95 < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT **606080-42-6P**, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-42-6 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER:	2003:154434 HCAPLUS
DOCUMENT NUMBER:	138:205068
TITLE:	Process for the preparation of a Na salt of a 5-(dioxidothiazinanyl)naphthyridine-7-carboxamide HIV integrase inhibitor
INVENTOR(S):	Anthony, Neville J.; Xu, Wei; Lepore, John V.; Mahajan, Amar J.
PATENT ASSIGNEE(S):	Merck & Co., Inc., USA
SOURCE:	PCT Int. Appl., 40 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

h eb c g cg b cg eb

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003016315	A1	20030227	WO 2002-US25675		20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1430058	A1	20040623	EP 2002-794880		20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
US 2003119823	A1	20030626	US 2002-218537		20020814
PRIORITY APPLN. INFO.:			US 2001-313373P	P	20010817
			WO 2002-US25675	W	20020813

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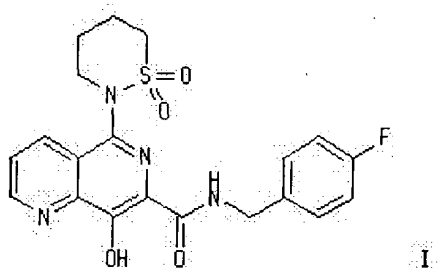


I

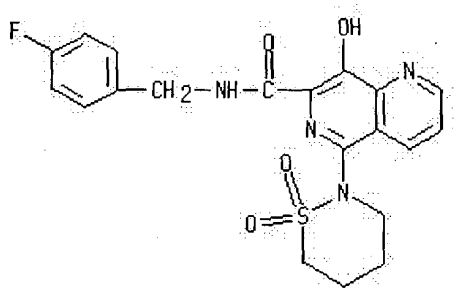
AB The cryst. sodium salt I•Na was prepd. as an HIV integrase inhibitor for preventing or treating HIV infection, for delaying the onset of AIDS, and for treating AIDS (no data). I•Na exhibited superior oral bioavailability and improved pharmacokinetics (e.g., improved Cmax and AUC) in rats and dogs relative to amorphous and cryst. I (no data). For example, 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester was coupled with 1,4-butane sultam (prepn. of starting materials given) in the presence of Cu2O and 2,2'-bipyridyl in DMF (78%). Deprotection of the alc. with NaOMe in MeOH (97%), followed by amidation with 4-fluorobenzylamine in EtOH gave I•EtOH (94%). The cryst. Na salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide (I•Na) was formed by treating the monoethonalate with 5M NaOH in a mixt. of EtOH and H2O. I•Na was analyzed by differential scanning calorimetry at a heating rate of 10°C/min in an open cup under flowing nitrogen and was found to have a DSC curve exhibiting an endotherm with a peak temp. of about 348° and an assocd. heat of fusion of about 45 J/gm followed by an exotherm with a peak temp. of about 352° and an assocd. heat of fusion of about 45 J/gm. The X-ray powder diffraction pattern of the Na salt was also generated.

h eb c g cg b cg eb

IT **410545-86-7P**, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(HIV integrase inhibitor; prepn. of the Na of a (dioxidothiazinanyl)naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)

RN 410545-86-7 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



Na

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Ref

ACCESSION NUMBER: 2003:154429 HCAPLUS
DOCUMENT NUMBER: 138:205040
TITLE: Process for preparing 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides, useful as HIV integrase inhibitors, by condensation of sulfonamides with 5-halo-8-(protected-hydroxy)naphthyridines in the presence of copper promoters and copper-chelating agents
INVENTOR(S): Maligres, Peter E.; Askin, David
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016309	A1	20030227	WO 2002-US27151	20020813

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

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TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1427726 A1 20040616 EP 2002-763531 20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: US 2001-313376P P 20010817
WO 2002-US27151 W 20020813

OTHER SOURCE(S): CASREACT 138:205040; MARPAT 138:205040

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved prepn. of 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides I is disclosed [wherein: A = Ph nucleus or carbocycle-fused Ph nucleus; L = bond, C1-6 alkylene, C2-6 alkenylene, (C0-6 alkylene)-(C3-6 cycloalkylene)-(C0-6 alkylene); Z1 = H, (un)substituted alkyl, OH, halo, NO2, cyano, CO2H or certain derivs., etc.; n = 0-5; Z2 = H, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, etc.; m = 0-2; R1, R2, R3 = H, (un)substituted alkyl or alkoxy, OH, halo, NO2, cyano, (hetero)aryl(oxy), etc.; R4 = H, (un)substituted alkyl or aryl; R5 = (un)substituted alkyl or aryl; or R4R5 = atoms to form certain sultams; R6 = H, (un)substituted alkyl]. Compds. I are known inhibitors of HIV integrase, and are useful for treating HIV infection, preventing HIV infection, delaying the onset of AIDS, and treating AIDS. Unspecified representative compds. I had IC50 values of < 100 μM in an integrase inhibition assay, and inhibited acute HIV infection of T-lymphoid cells with IC95 < 20 μM in another assay (no addnl. data). In the key step, a 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid or acid ester, in which the hydroxy is derivatized with a protecting group, is reacted with a sulfonamide (e.g., an alkanesulfonamide, an N-alkyl alkanesulfonamide, or an alkanesultam) in the presence of a copper promoter and a chelating agent, followed by deprotection of the hydroxy group, and then amidation with an amine to obtain I. Alternatively, the hydroxy-protected 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (or ester) is first coupled with an amine, and the resulting carboxamide then reacts with a sulfonamide, followed by deprotection of the hydroxy group, to obtain I. For instance, 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester underwent NBS bromination in the 5-position (93%), followed by O-tosylation of the 8-OH group with p-MeC6H4SO2Cl and Et3N (97%). Coupling of the resultant 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester (II) with 1,4-butanesultam in the presence of Cu2O and 2,2-bipyridyl in degassed DMF at 120° gave product III in 78-83% yield, depending upon workup. Detosylation of III with NaOMe and MeOH in DMF (97%) and amidation of the ester with 4-fluorobenzylamine in EtOH at 75-77° (94%) gave the synthetic target IV as the mono-EtOH solvate. In two comparison runs using Cu2O/pyridine, in which the 8-hydroxy group was not protected as the tosylate ester, coupling yields of only 19% and 42% were obtained.

IT **410544-56-8P**, 5-Bromo-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of sulfonamidohydroxynaphthyridinecarboxamides via coupling of halo(protected-hydroxy)naphthyridines with sulfonamides

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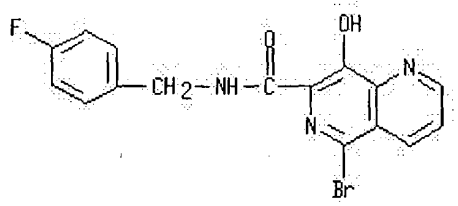
and sultams using Cu promoters and chelating agents)
RN 410544-56-8 HCAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Links | References

ACCESSION NUMBER: 2003:154416 HCAPLUS
DOCUMENT NUMBER: 138:205067
TITLE: Process for preparing sultams from alkanesulfonyl halides and haloalkylamines via intramolecular dianion alkylation of N-(haloalkyl)alkanesulfonamides, and application to the preparation of naphthyridinecarboxamides useful as HIV integrase inhibitors.
INVENTOR(S): Lee, Jaemoon; Askin, David; Jensen, Mark S.; Zhong, Yong-Li
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003016294	A1	20030227	WO 2002-US25666		20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
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US 2004186093	A1	20040923	US 2004-486526		20040210
PRIORITY APPLN. INFO.:			US 2001-313375P	P	20010817
			WO 2002-US25666	W	20020813

OTHER SOURCE(S): CASREACT 138:205067; MARPAT 138:205067
GI

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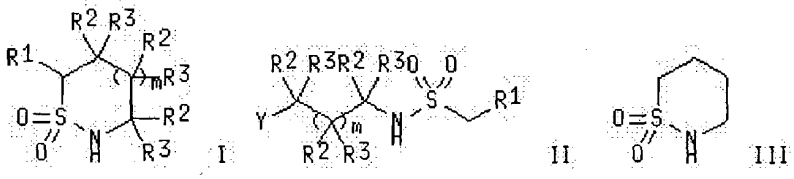
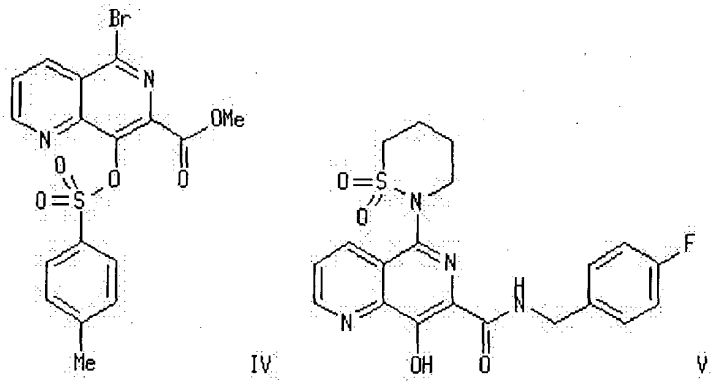


I II III



IV V

AB A new, superior prepn. of sultams is disclosed. In one embodiment an alkanesulfonyl halide reacts with a haloalkylamine to obtain the corresponding N-(haloalkyl)alkanesulfonamide, which is then cyclized in the presence of a deprotonating agent to give the sultam. The sultams include compds. useful as intermediates in the prepn. of naphthyridinecarboxamide compds. which are HIV integrase inhibitors. In particular, claims cover the prepn. of sultams I by treatment of precursors II with a deprotonating agent in an aprotic solvent [wherein: Y = leaving group without an active proton; R1 = H, C1-6 alkyl, (un)substituted Ph where substituents are halo or C1-6 alkyl; R2, R3 = H, C1-6 alkyl; m = 0-2]. The method offers high yields in 1 or 2 steps from relatively simple, com. available starting materials. For instance, a slurry of Br(CH2)3NH2.HBr in THF at 0° was treated simultaneously dropwise with Et3N and a soln. of MeSO2Cl in THF at < 10° over 2 h, then warmed to 23° and filtered to give a soln. of Br(CH2)3NHSO2Me in THF. This soln. was treated with 1,10-phenanthroline and iso-Pr2NH, cooled to -30°, and treated with n-BuLi over 4 h at < -20°. Workup and isolation gave cryst. 1,4-butanesultam (III) in 53% yield on a 1.44 kg scale. Sultam III was coupled with bromide IV in the presence of Cu2O and 2,2'-bipyridyl in 78% yield, followed by detosylation with NaOMe (97%) and amidation (94%) to give the target drug V, isolated as both an EtOH solvate and the Na salt.

IT **410544-95-5P**, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(target drug; prepn. of sultams from alkanesulfonyl halides and haloalkylamines via intramol. dianion alkylation of N-(haloalkyl)alkanesulfonamides, and use in prepn. of naphthyridinecarboxamide HIV integrase inhibitors)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

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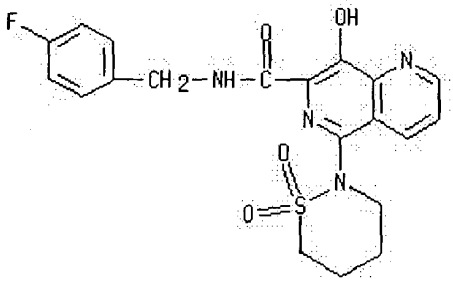


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

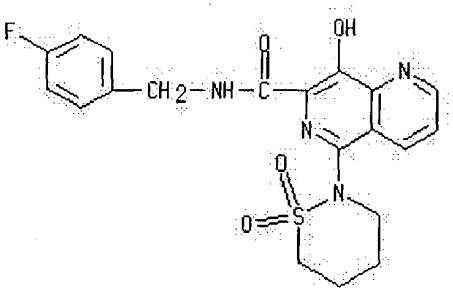
Full Text | Other References

ACCESSION NUMBER: 2003:153659 HCAPLUS
DOCUMENT NUMBER: 139:300965
TITLE: Novel aryl diketo-containing inhibitors of HIV-1 integrase
AUTHOR(S): Pais, Godwin C. G.; Burke, Terrence R., Jr.
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Center for Cancer Research, National Cancer Institute, National Institutes of Health, Frederick, MD, 21702-1201, USA
SOURCE: Drugs of the Future (2002), 27(11), 1101-1111
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. HIV-1 integrase is a promising therapeutic target for the development of drugs to treat HIV infection. Aryl diketo-based analogs, disclosed independently by scientists from Merck and Shionogi pharmaceutical companies, are a unique class of compds. that exhibit potent integrase inhibition and display good antiviral effects in HIV-infected cells. The progress of Merck's L-870810 and Shionogi's S-1360 to phase II clin. trials has promised the inclusion of integrase inhibitors in "cocktail" combination therapies in the near future. This review presents a crit. overview of research related to this new class of integrase inhibitors.

IT **410544-95-5P**, L 870810
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure-activity relationship of aryl diketo-contg. inhibitors of HIV-1 integrase)

RN 410544-95-5 HCAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:585058 HCAPLUS
DOCUMENT NUMBER: 138:231267
TITLE: Novel Inhibitors of Plasminogen Activator Inhibitor-1: Development of New Templates From Diketopiperazines
AUTHOR(S): Wang, Shouming; Golec, Julian; Miller, Warren; Milutinovic, Sandra; Folkes, Adrian; Williams, Susannah; Brooks, Teresa; Hardman, Kevin; Charlton, Peter; Wren, Stephen; Spencer, John
CORPORATE SOURCE: Department of Medicinal Chemistry, Xenova Ltd., Slough, Berkshire, SL1 4NL, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(17), 2367-2370
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:231267

AB Several isoquinoline-based templates were identified from the studies of the conformational effects of the diketopiperazine structures for PAI-1 inhibition. Moderate to good activity was retained with the elimination of unattractive characteristics in the diketopiperazine template.

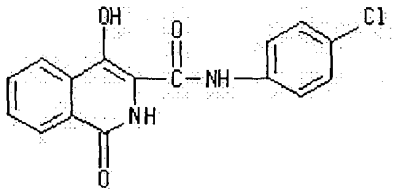
IT **501942-50-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and structure-activity relationship of diketopiperazines as novel inhibitors of plasminogen activator inhibitor-1)

RN 501942-50-3 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-(4-chlorophenyl)-1,2-dihydro-4-hydroxy-1-oxo-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:293653 HCAPLUS
DOCUMENT NUMBER: 136:309919
TITLE: Preparation of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle

S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002030931	A2	20020418	WO 2001-US42564		20011009
WO 2002030931	A3	20021024			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2002011874	A5	20020422	AU 2002-11874		20011009
EE 200300145	A	20030616	EE 2003-145		20011009
JP 2004511483	T2	20040415	JP 2002-534317		20011009
US 2003055071	A1	20030320	US 2001-973853		20011010
BG 107677	A	20031128	BG 2003-107677		20030326
NO 2003001672	A	20030605	NO 2003-1672		20030411
PRIORITY APPLN. INFO.:			US 2000-239707P	P	20001012
			US 2001-281656P	P	20010405
			WO 2001-US42564	W	20011009

OTHER SOURCE(S): MARPAT 136:309919

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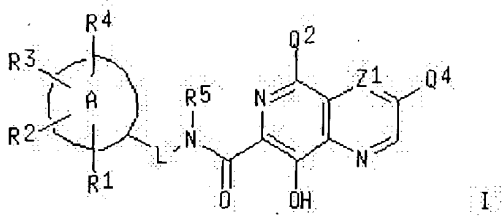

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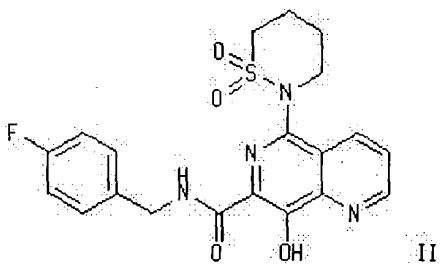

II

AB Title compds. I [A = Ph, indanyl, naphthyl, etc; L = single bond, alkyl, etc.; Z1 = N, CQ3; Q2-3 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc. or

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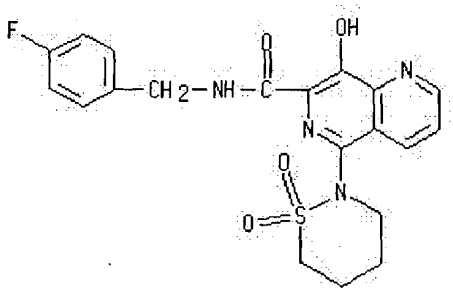
Q2-3 together with the carbon to which they are attached and the fused ring carbon atom attached therebetween form a 5-6-membered monocyclic heterocycle; Q4 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, OH, etc.; R3-4 = H, halo, CN, OH, alkyl, fluoroalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl, Ph, etc.] were prepd. For instance, the Mitsunobu product of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate and Me N-[(4-methylphenyl)sulfonyl]glycinate was cyclized to Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (MeOH, NaOMe, 0°C, 1.5 h). The naphthyridine was converted to the 5-bromo deriv. (CH2Cl2, NBS) and the product condensed with 4-fluorobenzylamine to give the corresponding 7-carboxamide. Treatment of this intermediate with 1,4-butanesultam (prepn. given; Pyridine, Cu2O, reflux, 16 h) provided II. The sodium salt of II was characterized by DSC and XRPD and jet-milled to to a particle size of 3 - 5 μ for use in oral dosage formulations. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS alone or in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

IT **410544-95-5P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:293652 HCAPLUS
DOCUMENT NUMBER: 136:325531
TITLE: Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 434 pp.
CODEN: PIXXD2

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DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030930	A2	20020418	WO 2001-US31456	20011009
WO 2002030930	A3	20020829		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011527	A5	20020422	AU 2002-11527	20011009
EP 1326865	A2	20030716	EP 2001-979582	20011009
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US 2003055071	A1	20030320	US 2001-973853	20011010
PRIORITY APPLN. INFO.:			US 2000-239707P	P 20001012
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			WO 2001-US31456	W 20011009

OTHER SOURCE(S): MARPAT 136:325531
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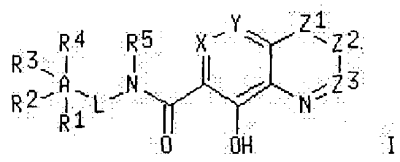

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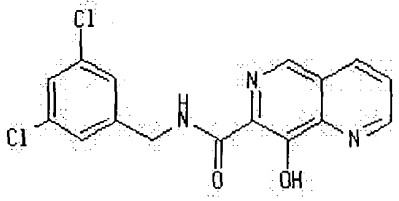

II

AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepd. I are inhibitors of HIV integrase

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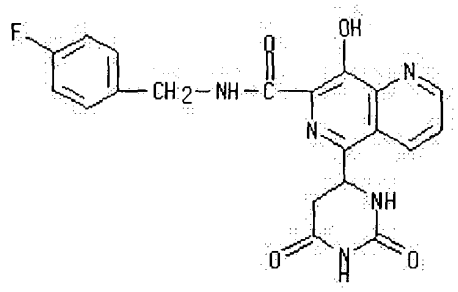
and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC95 values of < 20 μM.

IT **410544-69-3P**, N-(4-Fluorobenzyl)-5-(2,6-dioxohexahydropyrimidin-4-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 410544-69-3 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)



L12 ANSWER 17 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | More References

ACCESSION NUMBER: 2002:51455 HCAPLUS
DOCUMENT NUMBER: 136:118392
TITLE: Heterocycle carboxamides as antiviral agents
INVENTOR(S): Schnute, Mark E.; Vaillancourt, Valerie A.; Larsen, Scott D.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004443	A2	20020117	WO 2001-US16492	20010625
WO 2002004443	A3	20030912		

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UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002019397	A1	20020214	US 2001-887620	20010622
US 6730682	B2	20040504		
AU 2001069698	A5	20020121	AU 2001-69698	20010625
EP 1363907	A2	20031126	EP 2001-948225	20010625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502769	T2	20040129	JP 2002-509308	20010625
US 2004180910	A1	20040916	US 2004-812229	20040329
PRIORITY APPLN. INFO.:			US 2000-217556P	P 20000712
			US 2001-887620	A3 20010622
			WO 2001-US16492	W 20010625

OTHER SOURCE(S): MARPAT 136:118392
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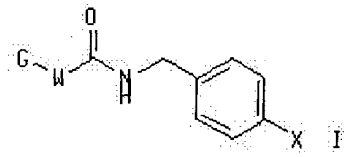

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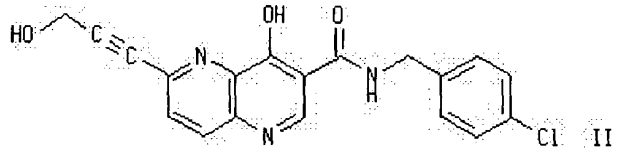


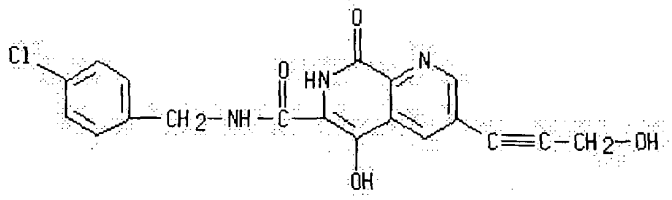
II

AB The title compds. [I; X = Cl, Br, F, CN, NO2; G = alkyl partially unsatd. and substituted by OH, or alkyl substituted by NR1R2 or 4-tetrahydropyran; R1 = substituted alkyl; R2 = H, alkyl; or NR1R2 = (un)substituted morpholino; W = naphthyridine, pyrano[2,3-c]pyridine, isochromene, etc.], useful as antiviral agents, in particular, as agents against viruses of the herpes family, were claimed. General procedures for prepn. of compds. I such as the naphthyridinecarboxamide II were given (no data for intermediates and final compds.).

IT 389796-61-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocycle carboxamides as antiviral agents)

RN 389796-61-6 HCAPLUS

CN 1,7-Naphthyridine-6-carboxamide, N-[(4-chlorophenyl)methyl]-7,8-dihydro-5-hydroxy-3-(3-hydroxy-1-propynyl)-8-oxo- (9CI) (CA INDEX NAME)



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L12 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:152650 HCAPLUS
DOCUMENT NUMBER: 134:207831
TITLE: Preparation, composition and use of heterocyclic aromatic amides as fungicides
INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Henry, Matthew James; Adamski, Butz Jenifer Lynn; Gajewski, Robert Peter
PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014339	A2	20010301	WO 2000-US21523	20000804
WO 2001014339	A3	20011115		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 2000065267	A5	20010319	AU 2000-65267	20000804
US 6355660	B1	20020312	US 2000-632930	20000804
EP 1204643	A2	20020515	EP 2000-952599	20000804
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EP 1234823	A2	20020828	EP 2002-9583	20000804
EP 1234823	A3	20030618		
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EP 1234824	A1	20020828	EP 2002-9584	20000804
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EP 1234825	A2	20020828	EP 2002-9585	20000804
EP 1234825	A3	20030618		
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EP 1234826	A2	20020828	EP 2002-9586	20000804
EP 1234826	A3	20030618		
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BR 2000013469	A	20030429	BR 2000-13469		20000804
JP 2003527324	T2	20030916	JP 2001-518428		20000804
US 2002177578	A1	20021128	US 2001-22413		20011213
US 2003018052	A1	20030123	US 2001-22207		20011213
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ZA 2002000435	A	20030117	ZA 2002-435		20020117
US 2004034025	A1	20040219	US 2002-307844		20021202
US 2004048864	A1	20040311	US 2002-307710		20021202
PRIORITY APPLN. INFO.:			US 1999-149977P	P	19990820
			US 1999-150248P	P	19990823
			US 2000-620662	A	20000720
			US 1999-144676P	P	19990720
			EP 2000-952599	A3	20000804
			US 2000-632930	A3	20000804
			WO 2000-US21523	W	20000804

OTHER SOURCE(S): MARPAT 134:207831
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

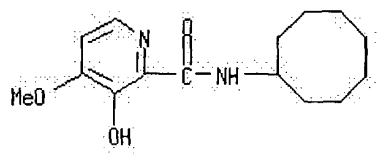
AB Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2,C1-4 alkoxy; R2 = H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, CZR4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl] are prepd. as fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compd. II was prepd. and tested as fungicide.

IT **267415-93-0P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



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L12 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:63978 HCAPLUS
DOCUMENT NUMBER: 134:131431
TITLE: Fungicidal heterocyclic aromatic amides and their compositions, methods of use and preparation
INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter
PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005769	A2	20010125	WO 2000-US19794	20000720
WO 2001005769	A3	20011122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1196388	A2	20020417	EP 2000-950470	20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003528806	T2	20030930	JP 2001-511430	20000720
BR 2000012615	A	20040330	BR 2000-12615	20000720
US 6355660	B1	20020312	US 2000-632930	20000804
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US 2003018052	A1	20030123	US 2001-22207	20011213
US 2003018012	A1	20030123	US 2001-22511	20011213
US 6706740	B2	20040316		
US 2003022902	A1	20030130	US 2001-22483	20011213
US 2003022903	A1	20030130	US 2001-23497	20011213
ZA 2002000436	A	20040302	ZA 2002-436	20020117
US 2004034025	A1	20040219	US 2002-307844	20021202
US 2004048864	A1	20040311	US 2002-307710	20021202

PRIORITY APPLN. INFO.:		
US 1999-144676P	P	19990720
US 1999-149977P	P	19990820
US 1999-150248P	P	19990823
US 2000-620662	A3	20000720
WO 2000-US19794	W	20000720
US 2000-632930	A3	20000804

OTHER SOURCE(S): MARPAT 134:131431
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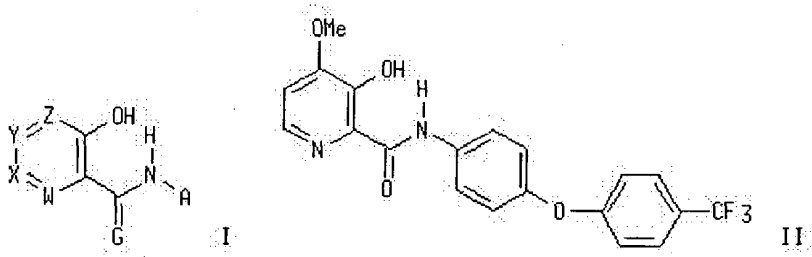


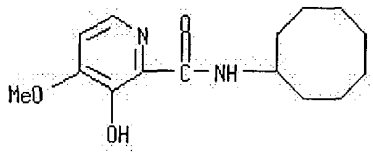
AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxymethyl, CHF2, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide functionality are prepd. and disclosed as antifungal agents, particularly for plants. Thus, pyridinyl carboxamide II was prepd. via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal compn. consists of a compd. of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., Plasmopara viticola (Downy Mildew of Grape), Phytophthora infestans (Late Blight of Tomato), and Venturia inaequalis (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT **267415-93-0P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



L12 ANSWER 20 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | More References

ACCESSION NUMBER: 1996:128500 HCAPLUS
DOCUMENT NUMBER: 124:246406
TITLE: Electrophotographic photoreceptor containing disazo nitroso pigment as charge-generating agent
INVENTOR(S): Hanatani, Yasuyuki; Kimoto, Keizo; Iwasaki, Hiroaki; Sakai, Hirosuke; Tanaka, Tomoki; Sugase, Ayako
PATENT ASSIGNEE(S): Mita Industrial Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07325418	A2	19951212	JP 1994-118728	19940531
PRIORITY APPLN. INFO.:			JP 1994-118728	19940531

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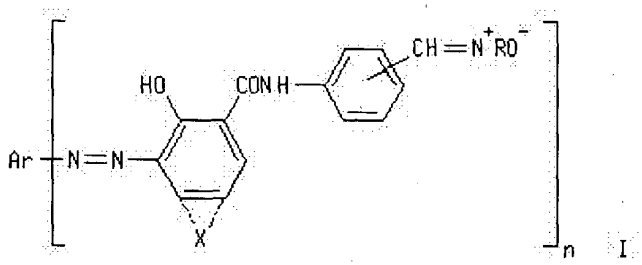


AB The photoreceptor contains a disazo nitroso pigment I (Ar = 2-4-valent arom. linking group; R = H, alkyl, aryl; X = org. residue to form arom. carbocycle or heterocycle with benzene ring; n = 2-4) as a charge-generating agent. The photoreceptor shows high sensitivity and repeating durability.

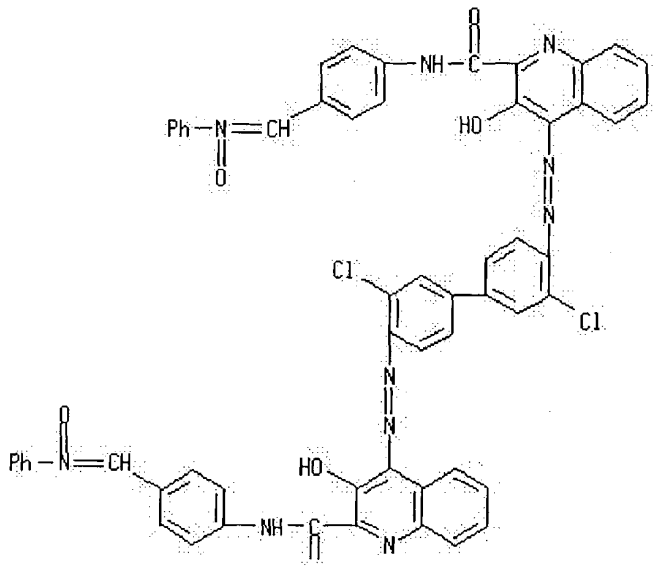
IT **174778-97-3**

RL: DEV (Device component use); USES (Uses)
(charge-generating agent; electrophotog. photoreceptor contg. disazo nitroso pigment as charge-generating agent)

RN 174778-97-3 HCAPLUS

CN 2-Quinolinecarboxamide, 4,4'-[(3,3'-dichloro[1,1'-biphenyl]-4,4'-diyl)bis(azo)]bis[3-hydroxy-N-[4-[(oxidophenylimino)methyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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L12 ANSWER 21 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Refs

ACCESSION NUMBER: 1989:135097 HCAPLUS
DOCUMENT NUMBER: 110:135097
TITLE: Preparation of 1-aryl-3-quinolinecarboxamide as analgesics and antiinflammatory agents
INVENTOR(S): Glamkowski, Edward J.; Hamer, R. Richard L.
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4786644	A	19881122	US 1987-125971	19871127
US 4966906	A	19901030	US 1988-218783	19880714
EP 317991	A2	19890531	EP 1988-119541	19881124
EP 317991	A3	19901107		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8806586	A	19890528	DK 1988-6586	19881125
JP 02138260	A2	19900528	JP 1988-296374	19881125
US 4952588	A	19900828	US 1989-401386	19890831
PRIORITY APPLN. INFO.:			US 1987-125971	19871127
			US 1988-218783	19880714

OTHER SOURCE(S): CASREACT 110:135097; MARPAT 110:135097
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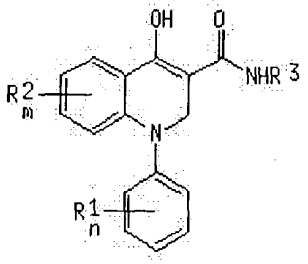

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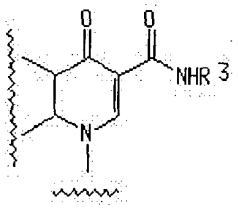

II

AB The title compds. [I; R1,R2 = halo, alkyl, alkoxy; R3 = (substituted) Ph, pyridyl, pyrimidyl, pyrazinyl, triazinyl, thiazolyl, thiadiazolyl, isoxazolyl oxadiazolyl, quinolyl, benzothiazolyl; m,n = 0, 1] oxo derivs. II, and isoquinoline analogs, useful as inflammation inhibitors and analgesics, were prepd. 2,3-Dihydro-1-phenyl-4(1H)-quinolone was stirred 1 h with NaH in C6H6. (EtO)2CO was added and the mixt. was refluxed 5 h. The product and 2-aminopyridine in PhMe were refluxed 16 h through a soxhlet extractor contg. 4 Å mol. sieves to give 1,2-dihydro-4-hydroxy-1-phenyl-N-(2-pyridyl)-3-quinolinecarboxamide. I inhibited carrageenan-induced rat paw edema by 23-29% at 100 mg/kg orally.

IT **119686-91-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as analgesic and antiinflammatory)
RN 119686-91-8 HCAPLUS
CN 3-Isoquinolinecarboxamide, N-(3-chlorophenyl)-4-hydroxy-1-phenyl- (9CI)

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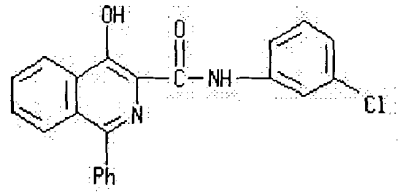
(CA INDEX NAME)



L12 ANSWER 22 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | More References

ACCESSION NUMBER: 1985:615133 HCAPLUS
DOCUMENT NUMBER: 103:215133
TITLE: 4-Hydroxy-1(2H)-isoquinolone-3-carboxamides. Synthesis and properties
AUTHOR(S): Schapira, Celia B.; Abasolo, Maria I.; Perillo, Isabel A.
CORPORATE SOURCE: Fac. Farm. Bioquim., Univ. Nac. Buenos Aires, Buenos Aires, Argent.
SOURCE: Journal of Heterocyclic Chemistry (1985), 22(2), 577-81
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:215133
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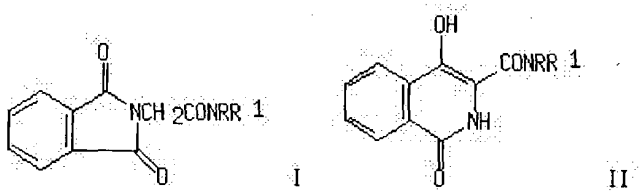

AB Reaction of some α-phthalimidoacetamides I (R = H, alkyl, Ph, 2-pyridyl; R1 = H, Et, Ph) with NaOEt was carried out under drastic conditions. I (R = Me, Me2CH2 cyclohexyl, R1 = H; R = Me, Et, R1 = Ph; R = R1 = Et) afforded isoquinolone-3-carboxamides II, while I (R = Ph, 2-pyridyl; R1 = H) afforded 2-(HO2C)C6H4CONHCH2 CONHR together with the expected isoquinolones II. I (R = R1 = H) gave phthalimide as the major product. Compds. II are acidic and unstable in basic media. The most acidic compds. had the longest half-life.

IT **99275-63-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 99275-63-5 HCAPLUS
CN 3-Isoquinolinecarboxamide, N-cyclohexyl-1,2-dihydro-4-hydroxy-1-oxo- (9CI) (CA INDEX NAME)

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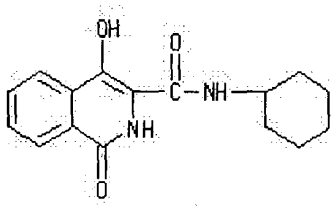


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L7            50 S L6
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
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                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00     -16.10

FILE 'HCAPLUS' ENTERED AT 01:02:10 ON 08 NOV 2004
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FILE COVERS 1907 - 8 Nov 2004  VOL 141 ISS 20
FILE LAST UPDATED: 7 Nov 2004 . (20041107/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d his

     (FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004)

     FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004
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L2                STRUCTURE UPLOADED
L3                STRUCTURE UPLOADED
L4             36 S L3
L5            666 S L3 FULL
L6                STRUCTURE UPLOADED
L7             50 S L6
L8           1060 S L6 FULL
L9            394 S L8 NOT L5

     FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004
L10            23 S L9
L11             1 S L10 AND  IMAMURA, K?/AU
L12            22 S L10 NOT L11
L13             0 S L12 AND MITOMO, K?/AU
L14             0 S L12 AND YAMADA, N?/AU
L15             0 S L12 AND YAMAMOTO, K?/AU
L16             0 S L12 AND TERAOKA, T?/AU
L17             0 S L12 AND SAKANAKA, O?/AU
L18             0 S L12 AND KURIHARA, H?/AU
L19             0 S L12 AND TANIGUCHI, M?/AU

     FILE 'CAOLD' ENTERED AT 01:02:01 ON 08 NOV 2004
L20             0 S L9

     FILE 'HCAPLUS' ENTERED AT 01:02:10 ON 08 NOV 2004

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L21         16 L9/THU
                 (L9 (L) THU/RL)

=> s l21 and fung?
        198482 FUNG?
L22          0 L21 AND FUNG?

=> s l21 and plant
        723532 PLANT
        403054 PLANTS
        896353 PLANT
                 (PLANT OR PLANTS)
L23          0 L21 AND PLANT

=> s l21 and infect?
        336435 INFECT?
L24         10 L21 AND INFECT?
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h eb c g cg b cg eb

=> d 124, ibib abs fhitstr, 1-10

L24 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

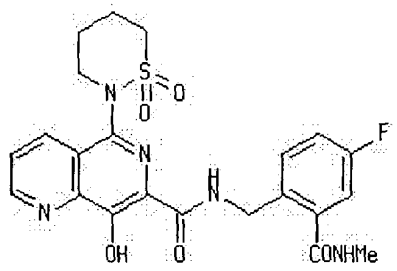
Full Text | Citing References

ACCESSION NUMBER: 2004:780495 HCAPLUS
DOCUMENT NUMBER: 141:296002
TITLE: Preparation of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as an HIV integrase inhibitor
INVENTOR(S): Palucki, Michael; Askin, David; Angelico, Vincent J.; Wenslow, Robert M., Jr.
PATENT ASSIGNEE(S): Merck & Co. Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080402	A2	20040923	WO 2004-US6968	20040308

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-453896P P 20030312
GI



I

AB A potassium salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide (I) was prepd. The compd. I potassium salt is an HIV integrase inhibitor useful for preventing or treating HIV **infection**, for delaying the onset of AIDS, and for treating AIDS. Thus, a 50-L flask equipped with a mech. stirrer, temp. probe, and nitrogen inlet was charged with dry DMF (16.3 L), 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (1.73 5 kg, 6.0 wt.% water), anhyd. HOBt (341 g), N-methyl-2-amino-5-fluorobenzenecarboxamide hydrochloride (1.32 kg), and

h eb c g cg b cg eb

NMM (456 g, 500 mL). The suspension was agitated at 20° until a homogeneous soln. was obtained and then cooled to 0-5°, treated with EDC (1.45 kg), and aged until complete conversion of the carboxylic acid was reached as detd. by HPLC (<0.5% the carboxylic acid, ~16 h) to give, after workup and drying, I (2.16 kg, 88% isolated yield, purity: >99.0 A% by HPLC assay). A 100 L cylinder equipped with a mech. stirrer, temp. probe, addn. funnel, and nitrogen inlet was charged with 4.2 kg I and EtOH (84 L) and then heated to 60°. To the resulting yellow suspension was added 866 mL 45 wt.% aq. KOH and the resulting yellow soln. was filtered through a 10 μm line filter into an adjacent 100 L flask. The soln. was seeded and heated at 60° for 3 h and then allowed to cool to room temp. overnight. The resulting slurry was cooled to 3-4°, filtered, and washed with 4 X 2 L of cold EtOH. The filter pot was placed under vacuum with a N stream to obtain I potassium salt as a cryst. ethanolate salt (purity >99.6 A% by HPLC assay, 6.8 wt.% ethanol by GC, and 0.5 wt.% water by Karl Fisher titrn.).

IT **761452-50-0P**

RL: IMF (Industrial manufacture); PRP (Properties); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(X-ray diffraction anal.; prepn. of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as HIV integrase inhibitor)

RN 761452-50-0 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

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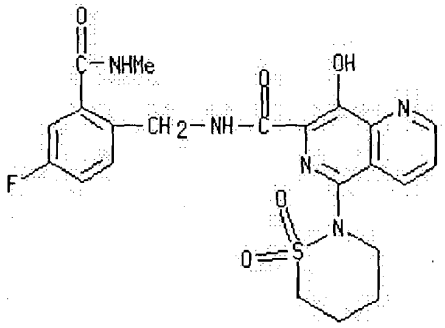
CRN 606080-42-6

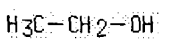
CMF C22 H22 F N5 O5 S



CM 2

CRN 64-17-5

CMF C2 H6 O



L24 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2004:587781 HCAPLUS

DOCUMENT NUMBER: 141:253713

TITLE: Integrase Inhibitors and Cellular Immunity Suppress Retroviral Replication in Rhesus Macaques

h eb c g cg b cg eb

AUTHOR(S): Hazuda, Daria J.; Young, Steven D.; Guare, James P.; Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Vacca, Joseph P.; Handt, Larry; Motzel, Sherri L.; Klein, Hilton J.; Dornadula, Geethanjali; Danovich, Robert M.; Witmer, Marc V.; Wilson, Keith A. A.; Tussey, Lynda; Schleif, William A.; Gabryelski, Lori S.; Jin, Lixia; Miller, Michael D.; Casimiro, Danilo R.; Emini, Emilio A.; Shiver, John W.

CORPORATE SOURCE: Dep. Biological Chem., Merck Res. Laboratories, West Poing, PA, 19486, USA

SOURCE: Science (Washington, DC, United States) (2004), 305(5683), 528-532
CODEN: SCIEAS; ISSN: 0036-8075

PUBLISHER: American Association for the Advancement of Science

DOCUMENT TYPE: Journal

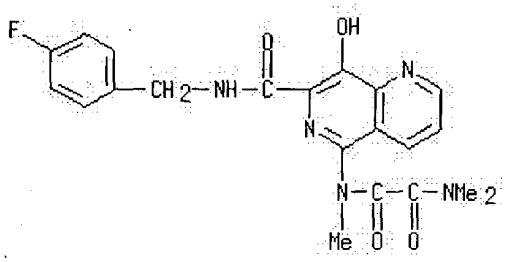
LANGUAGE: English

AB The authors describe the efficacy of L-870812, an inhibitor of HIV-1 and SIV integrase, in rhesus macaques **infected** with the simian-human immunodeficiency virus (SHIV) 89.6P. When initiated before CD4 cell depletion, L-870812 therapy mediated a sustained suppression of viremia, preserving CD4 levels and permitting the induction of virus-specific cellular immunity. L-870812 was also active in chronic **infection**; however, the magnitude and durability of the effect varied in conjunction with the pretreatment immune response and viral load. These studies demonstrate integrase inhibitor activity in vivo and suggest that cellular immunity facilitates chemotherapeutic efficacy in retroviral **infections**.

IT **410545-90-3**, L 870812
RL: BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(integrase inhibitors and cellular immunity suppress retroviral replication in rhesus macaques)

RN 410545-90-3 HCAPLUS

CN Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:836794 HCAPLUS

DOCUMENT NUMBER: 139:341742

TITLE: Pharmaceutical compositions containing an HIV integrase inhibitor and a nonionic surfactant

INVENTOR(S): Robertson, Sandra; Cruanes, Maria T.; Karaborni, Sami; Ostovic, Drazen; Fu, Xi-yong; Kamali, Ashkan; Panmai, Santipharp; Plank, Russell V.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 94 pp.

h eb c g cg b cg eb

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086319	A2	20031023	WO 2003-US7517	20030313
WO 2003086319	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

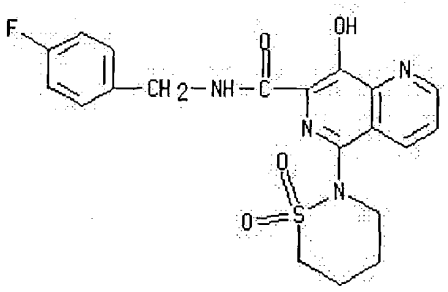
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-371296P P 20020410
OTHER SOURCE(S): MARPAT 139:341742

AB Pharmaceutical compns. comprise a therapeutically effective amt. of an 8-hydroxy-1,6-naphthyridine-7-carboxamide compd. or a pharmaceutically acceptable salt thereof and a nonionic surfactant. Compds. of this invention are HIV integrase inhibitors, and the pharmaceutical compns. are useful for preventing or treating HIV **infection** or for preventing, treating, or delaying the onset of AIDS. The pharmaceutical compns. are typically administered orally, for example, in the form of capsules or tablets, and can provide good oral bioavailability. Methods for prepg. encapsulated and tableted forms of the pharmaceutical compns. are described.

IT **410544-95-5P**
RL: PKT (Pharmacokinetics); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(oral compns. contg. HIV integrase inhibitor and nonionic surfactant)

RN 410544-95-5 HCAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
Full Text | Citing References

ACCESSION NUMBER: 2003:757475 HCAPLUS
DOCUMENT NUMBER: 139:276879
TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-

h eb c g cg b cg eb

naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV **infection**/AIDS

INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark; Young, Steven D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077857	A2	20030925	WO 2003-US7671	20030312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364929P P 20020315

OTHER SOURCE(S): MARPAT 139:276879

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutically acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating **infection** by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl3, O-tosylation in CHCl3, condensation of the bromide with 1,4-butanesultam in DMF in the presence of Cu2O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC50 < 0.5 μM. The same compds. inhibited HIV replication in T-lymphoid cells with IC95 < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or

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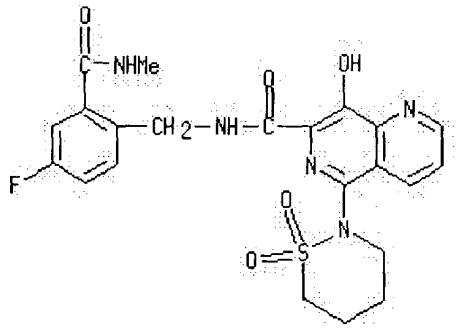
vaccines.

IT 606080-42-6P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-42-6 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | More References

ACCESSION NUMBER: 2003:757471 HCAPLUS
DOCUMENT NUMBER: 139:276878
TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV **infection**/AIDS
INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077850	A2	20030925	WO 2003-US7448	20030312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364929P P 20020315
OTHER SOURCE(S): MARPAT 139:276878

h eb c g cg b cg eb

GI

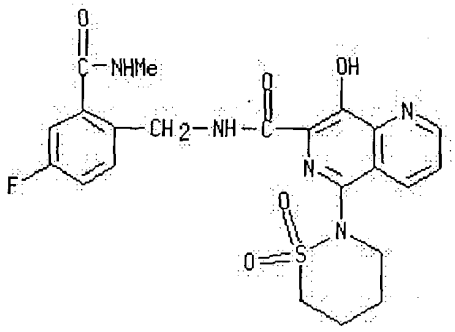
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutical acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating **infection** by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl3, O-tosylation in CHCl3, condensation of the bromide with 1,4-butanesultam in DMF in the presence of Cu2O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC50 < 0.5 μM. The same compds. inhibited the replication of HIV in T-lymphoid cells with IC95 < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT **606080-42-6P**, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-42-6 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

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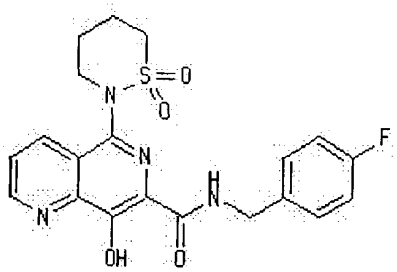
Full Text | Citing References

ACCESSION NUMBER: 2003:154434 HCAPLUS
DOCUMENT NUMBER: 138:205068
TITLE: Process for the preparation of a Na salt of a 5-(dioxidothiazinanyl)naphthyridine-7-carboxamide HIV integrase inhibitor
INVENTOR(S): Anthony, Neville J.; Xu, Wei; Lepore, John V.; Mahajan, Amar J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016315	A1	20030227	WO 2002-US25675	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1430058	A1	20040623	EP 2002-794880	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003119823	A1	20030626	US 2002-218537	20020814
PRIORITY APPLN. INFO.:			US 2001-313373P	P 20010817
			WO 2002-US25675	W 20020813

GI



I

AB The cryst. sodium salt I•Na was prepd. as an HIV integrase inhibitor for preventing or treating HIV **infection**, for delaying the onset of AIDS, and for treating AIDS (no data). I•Na exhibited superior oral bioavailability and improved pharmacokinetics (e.g., improved Cmax and AUC) in rats and dogs relative to amorphous and cryst. I (no data). For example, 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester was coupled with 1,4-butane sultam (prepn. of starting

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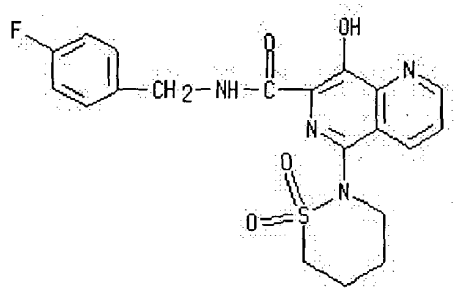
materials given) in the presence of Cu2O and 2,2'-bipyridyl in DMF (78%). Deprotection of the alc. with NaOMe in MeOH (97%), followed by amidation with 4-fluorobenzylamine in EtOH gave I•EtOH (94%). The cryst. Na salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide (I•Na) was formed by treating the monoethonalate with 5M NaOH in a mixt. of EtOH and H2O. I•Na was analyzed by differential scanning calorimetry at a heating rate of 10°C/min in an open cup under flowing nitrogen and was found to have a DSC curve exhibiting an endotherm with a peak temp. of about 348° and an assocd. heat of fusion of about 45 J/gm followed by an exotherm with a peak temp. of about 352° and an assocd. heat of fusion of about 45 J/gm. The X-ray powder diffraction pattern of the Na salt was also generated.

IT **410545-86-7P**, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(HIV integrase inhibitor; prepn. of the Na of a (dioxidothiazinanyl)naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)

RN 410545-86-7 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



Na

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Search References

ACCESSION NUMBER: 2003:154429 HCAPLUS
DOCUMENT NUMBER: 138:205040
TITLE: Process for preparing 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides, useful as HIV integrase inhibitors, by condensation of sulfonamides with 5-halo-8-(protected-hydroxy)naphthyridines in the presence of copper promoters and copper-chelating agents
INVENTOR(S): Maligres, Peter E.; Askin, David
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2

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DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016309	A1	20030227	WO 2002-US27151	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1427726	A1	20040616	EP 2002-763531	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-313376P P 20010817
WO 2002-US27151 W 20020813

OTHER SOURCE(S): CASREACT 138:205040; MARPAT 138:205040
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved prepn. of 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides I is disclosed [wherein: A = Ph nucleus or carbocycle-fused Ph nucleus; L = bond, C1-6 alkylene, C2-6 alkenylene, (C0-6 alkylene)-(C3-6 cycloalkylene)-(C0-6 alkylene); Z1 = H, (un)substituted alkyl, OH, halo, NO2, cyano, CO2H or certain derivs., etc.; n = 0-5; Z2 = H, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, etc.; m = 0-2; R1, R2, R3 = H, (un)substituted alkyl or alkoxy, OH, halo, NO2, cyano, (hetero)aryl(oxy), etc.; R4 = H, (un)substituted alkyl or aryl; R5 = (un)substituted alkyl or aryl; or R4R5 = atoms to form certain sultams; R6 = H, (un)substituted alkyl]. Compds. I are known inhibitors of HIV integrase, and are useful for treating HIV **infection**, preventing HIV **infection**, delaying the onset of AIDS, and treating AIDS. Unspecified representative compds. I had IC50 values of < 100 μM in an integrase inhibition assay, and inhibited acute HIV **infection** of T-lymphoid cells with IC95 < 20 μM in another assay (no addnl. data). In the key step, a 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid or acid ester, in which the hydroxy is derivatized with a protecting group, is reacted with a sulfonamide (e.g., an alkanesulfonamide, an N-alkyl alkanesulfonamide, or an alkanesultam) in the presence of a copper promoter and a chelating agent, followed by deprotection of the hydroxy group, and then amidation with an amine to obtain I. Alternatively, the hydroxy-protected 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (or ester) is first coupled with an amine, and the resulting carboxamide then reacts with a sulfonamide, followed by deprotection of the hydroxy group, to obtain I. For instance, 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester underwent NBS bromination in the 5-position (93%), followed by O-tosylation of the 8-OH group with p-MeC6H4SO2Cl and Et3N (97%). Coupling of the resultant 5-bromo-8-(p-toluenesulfonyloxy)-1,6-

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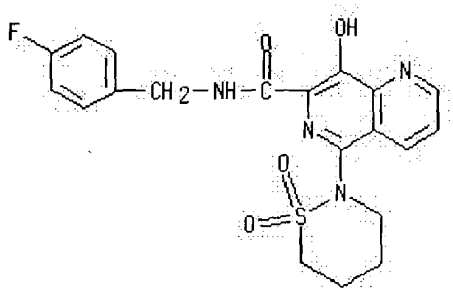
naphthyridine-7-carboxylic acid Me ester (II) with 1,4-butanesultam in the presence of Cu2O and 2,2-bipyridyl in degassed DMF at 120° gave product III in 78-83% yield, depending upon workup. Detosylation of III with NaOMe and MeOH in DMF (97%) and amidation of the ester with 4-fluorobenzylamine in EtOH at 75-77° (94%) gave the synthetic target IV as the mono-EtOH solvate. In two comparison runs using Cu2O/pyridine, in which the 8-hydroxy group was not protected as the tosylate ester, coupling yields of only 19% and 42% were obtained.

IT **410544-95-5P**, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(product; prepn. of sulfonamidohydroxynaphthyridinecarboxamides via coupling of halo(protected-hydroxy)naphthyridines with sulfonamides and sultams using Cu promoters and chelating agents)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:153659 HCAPLUS
DOCUMENT NUMBER: 139:300965
TITLE: Novel aryl diketo-containing inhibitors of HIV-1 integrase
AUTHOR(S): Pais, Godwin C. G.; Burke, Terrence R., Jr.
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Center for Cancer Research, National Cancer Institute, National Institutes of Health, Frederick, MD, 21702-1201, USA
SOURCE: Drugs of the Future (2002), 27(11), 1101-1111
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. HIV-1 integrase is a promising therapeutic target for the development of drugs to treat HIV **infection**. Aryl diketo-based analogs, disclosed independently by scientists from Merck and Shionogi pharmaceutical companies, are a unique class of compds. that exhibit potent integrase inhibition and display good antiviral effects in HIV-**infected** cells. The progress of Merck's L-870810 and Shionogi's S-1360 to phase II clin. trials has promised the inclusion of integrase inhibitors in "cocktail" combination therapies in the near future. This review presents a crit. overview of research related to this new class of integrase inhibitors.

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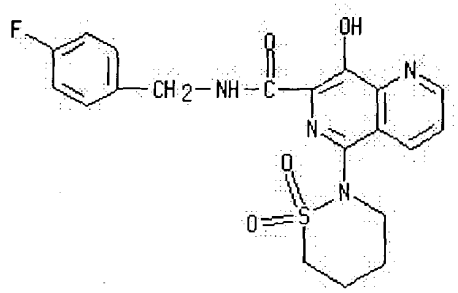
IT **410544-95-5P**, L 870810
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure-activity relationship of aryl diketo-contg. inhibitors of HIV-1 integrase)
RN 410544-95-5 HCAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:293653 HCAPLUS
DOCUMENT NUMBER: 136:309919
TITLE: Preparation of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030931	A2	20020418	WO 2001-US42564	20011009
WO 2002030931	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011874	A5	20020422	AU 2002-11874	20011009

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EE 200300145	A	20030616	EE 2003-145		20011009
JP 2004511483	T2	20040415	JP 2002-534317		20011009
US 2003055071	A1	20030320	US 2001-973853		20011010
BG 107677	A	20031128	BG 2003-107677		20030326
NO 2003001672	A	20030605	NO 2003-1672		20030411
PRIORITY APPLN. INFO.:			US 2000-239707P	P	20001012
			US 2001-281656P	P	20010405
			WO 2001-US42564	W	20011009

OTHER SOURCE(S): MARPAT 136:309919
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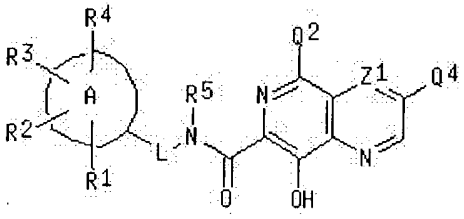

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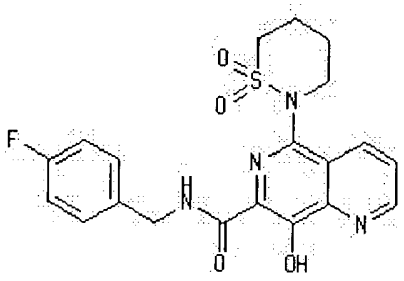

II

AB Title compds. I [A = Ph, indanyl, naphthyl, etc; L = single bond, alkyl, etc.; Z1 = N, CQ3; Q2-3 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc. or Q2-3 together with the carbon to which they are attached and the fused ring carbon atom attached therebetween form a 5-6-membered monocyclic heterocycle; Q4 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, OH, etc.; R3-4 = H, halo, CN, OH, alkyl, fluoroalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl, Ph, etc.] were prepd. For instance, the Mitsunobu product of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate and Me N-[(4-methylphenyl)sulfonyl]glycinate was cyclized to Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (MeOH, NaOMe, 0°C, 1.5 h). The naphthyridine was converted to the 5-bromo deriv. (CH2Cl2, NBS) and the product condensed with 4-fluorobenzylamine to give the corresponding 7-carboxamide. Treatment of this intermediate with 1,4-butanesultam (prepn. given; Pyridine, Cu2O, reflux, 16 h) provided II. The sodium salt of II was characterized by DSC and XRPD and jet-milled to to a particle size of 3 - 5 μ for use in oral dosage formulations. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of **infection** by HIV and the treatment of AIDS alone or in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating **infection** by HIV are also described.

IT **410544-95-5P**

RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP

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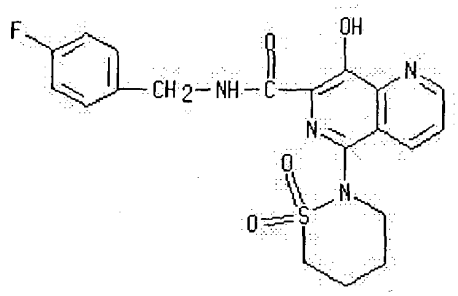
(Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | More References

ACCESSION NUMBER: 2002:293652 HCAPLUS
DOCUMENT NUMBER: 136:325531
TITLE: Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 434 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030930	A2	20020418	WO 2001-US31456	20011009
WO 2002030930	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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AU 2002011527	A5	20020422	AU 2002-11527	20011009
EP 1326865	A2	20030716	EP 2001-979582	20011009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003055071	A1	20030320	US 2001-973853	20011010
PRIORITY APPLN. INFO.:			US 2000-239707P	P 20001012

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US 2001-281656P P 20010405
WO 2001-US31456 W 20011009

OTHER SOURCE(S): MARPAT 136:325531

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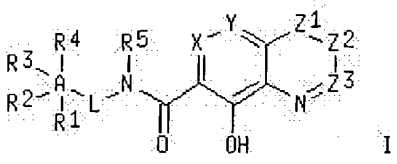

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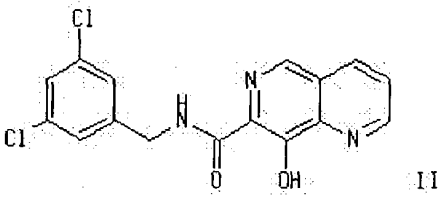


II

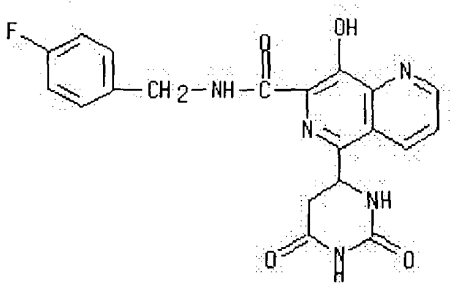
AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of **infection** by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV **infection** of T-lymphoid cells and demonstrated IC95 values of < 20 μM.

IT **410544-69-3P**, N-(4-Fluorobenzyl)-5-(2,6-dioxohexahydropyrimidin-4-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); **THU (Therapeutic use)**; **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 410544-69-3 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)

h eb c g cg b cg eb



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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      57.04     508.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -7.00     -23.10

FILE 'REGISTRY' ENTERED AT 01:04:33 ON 08 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES:     5 NOV 2004  HIGHEST RN 775356-23-5
DICTIONARY FILE UPDATES:    5 NOV 2004  HIGHEST RN 775356-23-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L25     STRUCTURE UPLOADED

=> d 125
L25 HAS NO ANSWERS
L25             STR

=> s 125
SAMPLE SEARCH INITIATED 01:08:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    353 TO ITERATE

100.0% PROCESSED     353 ITERATIONS                          6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
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h eb c g cg b cg eb

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PROJECTED ITERATIONS:          5933 TO     8187
PROJECTED ANSWERS:                6 TO      266

L26            6 SEA SSS SAM L25

=> s l25 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 01:08:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6800 TO ITERATE

100.0% PROCESSED    6800 ITERATIONS                          164 ANSWERS
SEARCH TIME: 00.00.01

L27          164 SEA SSS FUL L25

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   157.94     666.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -23.10

FILE 'HCAPLUS' ENTERED AT 01:08:52 ON 08 NOV 2004
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FILE COVERS 1907 - 8 Nov 2004  VOL 141 ISS 20
FILE LAST UPDATED: 7 Nov 2004  (20041107/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l27/rct
          378 L27
      2674063 RCT/RL
L28       168 L27/RCT
              (L27 (L) RCT/RL)

=> d his

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     FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004
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L3                STRUCTURE UPLOADED
L4             36 S L3
L5            666 S L3 FULL
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L7             50 S L6
L8           1060 S L6 FULL
L9            394 S L8 NOT L5

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L13             0 S L12 AND MITOMO, K?/AU
L14             0 S L12 AND YAMADA, N?/AU
L15             0 S L12 AND YAMAMOTO, K?/AU
L16             0 S L12 AND TERAOKA, T?/AU
L17             0 S L12 AND SAKANAKA, O?/AU
L18             0 S L12 AND KURIHARA, H?/AU
L19             0 S L12 AND TANIGUCHI, M?/AU

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L22             0 S L21 AND FUNG?
L23             0 S L21 AND PLANT
L24            10 S L21 AND INFECT?

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L25               STRUCTURE UPLOADED
L26             6 S L25
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=> s l29 and l28
L30           3 L29 AND L28

=> d l30, ibib abs hitstr, 1-3

L30  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2004 ACS on STN
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Full Text | More References

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ACCESSION NUMBER:         2001:152650  HCAPLUS
DOCUMENT NUMBER:          134:207831
TITLE:                    Preparation, composition and use of heterocyclic
                          aromatic amides as fungicides
INVENTOR(S):              Ricks, Michael John; Dent, William Hunter, III;
                          Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam
                          Salim; Miesel, John Louis; Fitzpatrick, Gina Marie;
                          Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed;
```

Morrison, Irene Mae; Henry, Matthew James; Adamski, Butz Jenifer Lynn; Gajewski, Robert Peter

PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014339	A2	20010301	WO 2000-US21523	20000804
WO 2001014339	A3	20011115		
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AU 2000065267	A5	20010319	AU 2000-65267	20000804
US 6355660	B1	20020312	US 2000-632930	20000804
EP 1204643	A2	20020515	EP 2000-952599	20000804
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US 2002177578	A1	20021128	US 2001-22413	20011213
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US 2003022903	A1	20030130	US 2001-23497	20011213
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US 2004034025	A1	20040219	US 2002-307844	20021202
US 2004048864	A1	20040311	US 2002-307710	20021202

102(a)

h eb c g cg b cg eb

PRIORITY APPLN. INFO.:

US 1999-149977P	P	19990820
US 1999-150248P	P	19990823
US 2000-620662	A	20000720
US 1999-144676P	P	19990720
EP 2000-952599	A3	20000804
US 2000-632930	A3	20000804
WO 2000-US21523	W	20000804

OTHER SOURCE(S): MARPAT 134:207831
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2,C1-4 alkoxy; R2 = H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, CZR4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl] are prepd. as fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compd. II was prepd. and tested as fungicide.

IT **267415-93-0P 321597-82-4P 321597-85-7P**
321597-86-8P 321598-00-9P 321598-03-2P
321598-04-3P 321598-13-4P 321598-20-3P
321598-21-4P 321598-27-0P 321598-47-4P
321598-48-5P 321598-49-6P 321598-50-9P
321598-51-0P 321598-52-1P 321598-53-2P
321598-54-3P 321598-55-4P 321598-56-5P
321598-57-6P 321598-58-7P 321598-59-8P
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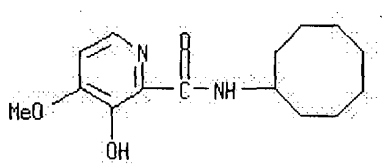
321598-66-7P 321598-67-8P 321598-68-9P
321598-71-4P 321600-41-3P 321601-50-7P
321601-51-8P 321601-52-9P 321744-54-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCAPLUS
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



102(a)

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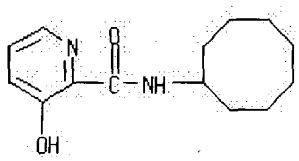

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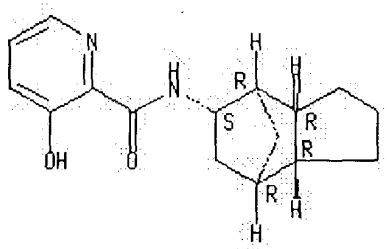
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5S,7R,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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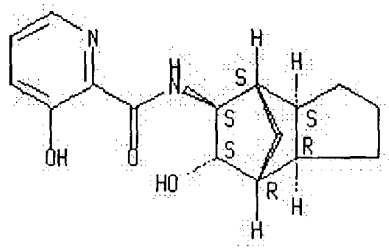
Relative stereochemistry.



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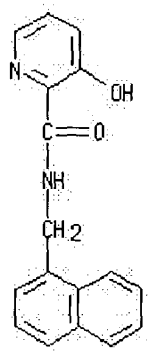

RN 321598-03-2 HCAPLUS
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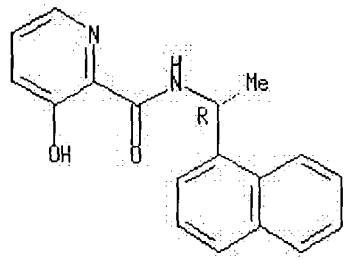
Absolute stereochemistry.



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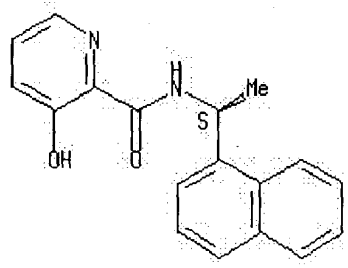
Absolute stereochemistry.



RN 321598-13-4 HCAPLUS
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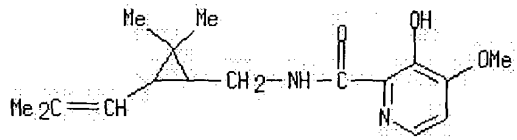

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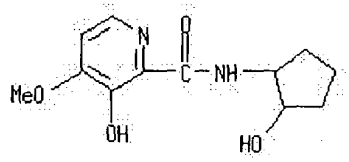

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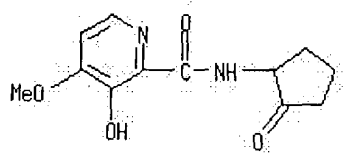

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RN 321598-27-0 HCAPLUS
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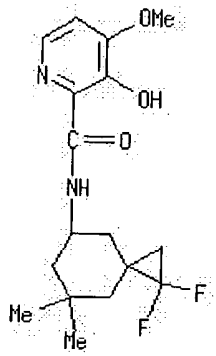

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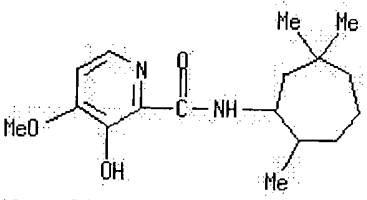

RN 321598-48-5 HCAPLUS
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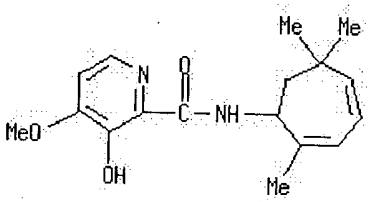

RN 321598-49-6 HCAPLUS
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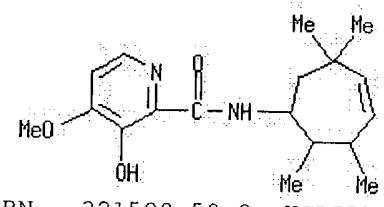

RN 321598-50-9 HCAPLUS
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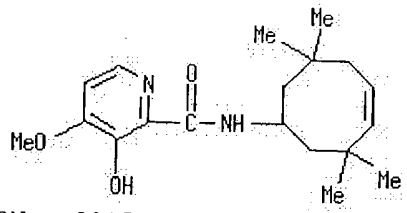

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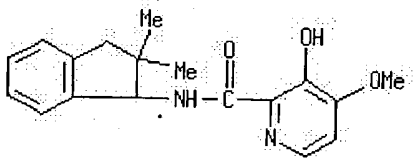

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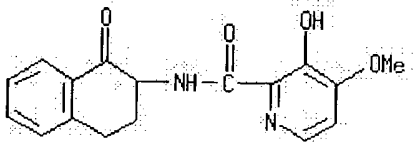
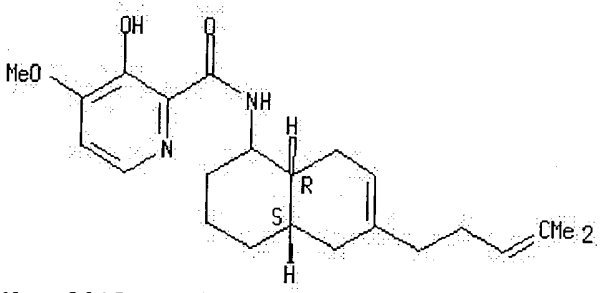


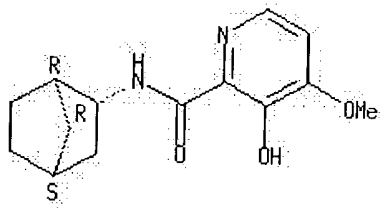
RN 321598-53-2 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(4aR,8aS)-1,2,3,4,4a,5,8,8a-octahydro-6-(4-methyl-3-pentenyl)-1-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-54-3 HCAPLUS
CN 2-Pyridinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

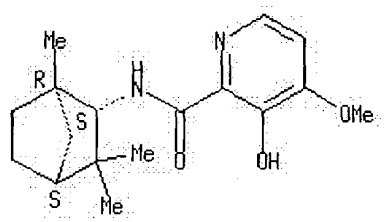


RN 321598-55-4 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S)-1,3,3-

h eb c g cg b cg eb

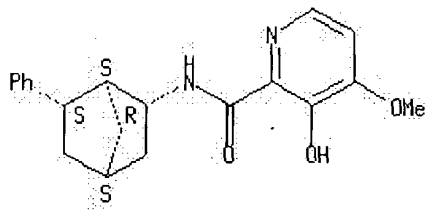
trimethylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



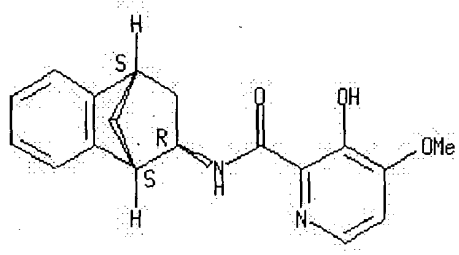
RN 321598-56-5 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,6R)-6-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



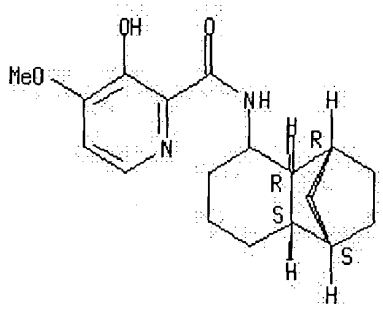
RN 321598-57-6 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R)-1,2,3,4-tetrahydro-1,4-methanonaphthalen-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-58-7 HCAPLUS
CN 2-Pyridinecarboxamide, N-[(1R,4S,4aS,8aR)-decahydro-1,4-methanonaphthalen-5-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

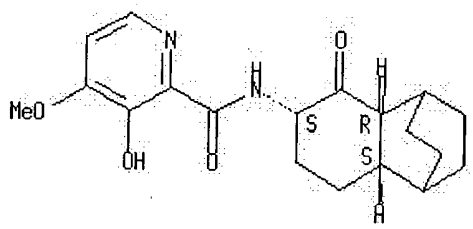
Relative stereochemistry.



RN 321598-59-8 HCAPLUS
CN 2-Pyridinecarboxamide, N-[(4aR,6S,8aS)-decahydro-5-oxo-1,4-ethanonaphthalen-6-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

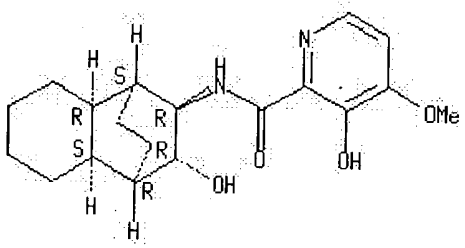
h eb c g cg b cg eb

Relative stereochemistry.



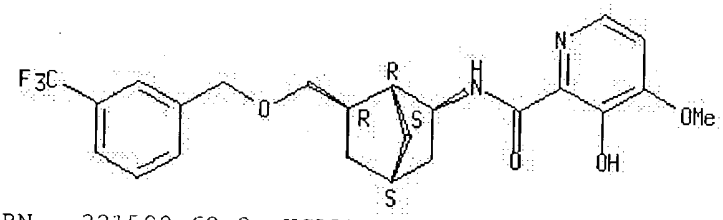
RN 321598-60-1 HCAPLUS
CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,4aR,8aS)-decahydro-3-hydroxy-1,4-ethanonaphthalen-2-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



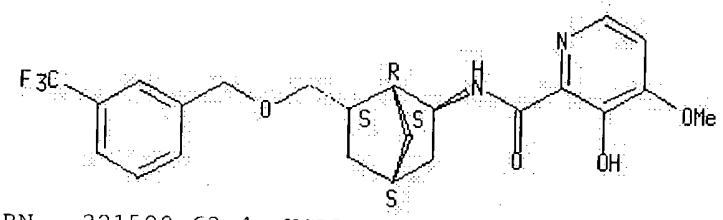
RN 321598-61-2 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-62-3 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6S)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

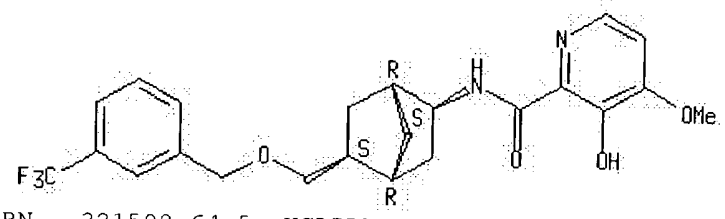
Relative stereochemistry.



RN 321598-63-4 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

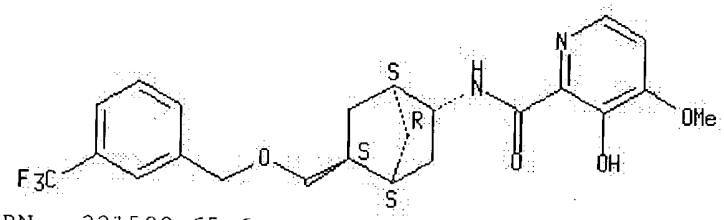
h eb c g cg b cg eb

Relative stereochemistry.



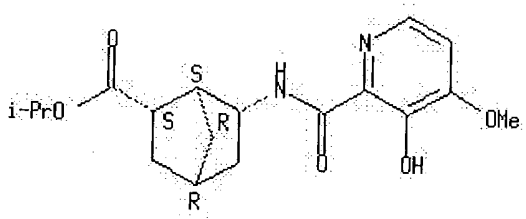
RN 321598-64-5 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5R)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



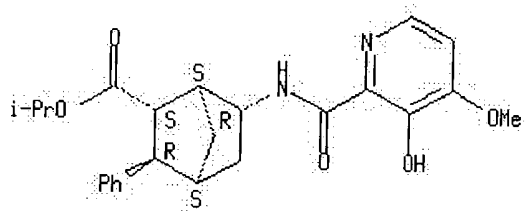
RN 321598-65-6 HCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2R,4S,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-66-7 HCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3S,4R,6S)-rel- (9CI) (CA INDEX NAME)

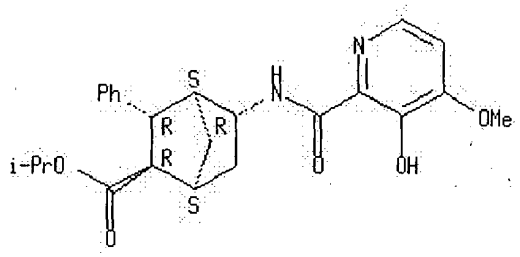
Relative stereochemistry.



RN 321598-67-8 HCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2S,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

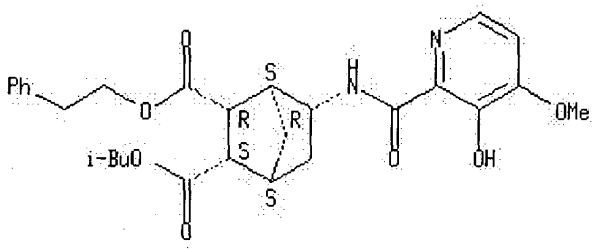
h eb c g cg b cg eb

Relative stereochemistry.



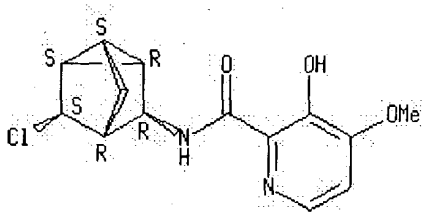
RN 321598-68-9 HCAPLUS
CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 2-(2-methylpropyl) 3-(2-phenylethyl) ester, (1R,2R,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

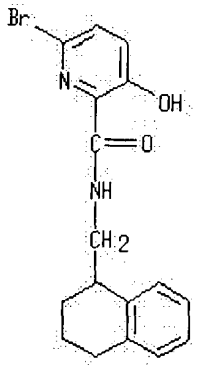


RN 321598-71-4 HCAPLUS
CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,5R,6R)-5-chlorotricyclo[2.2.1.02,6]hept-3-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321600-41-3 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-3-hydroxy-N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

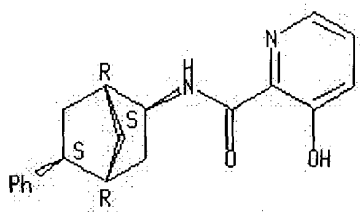


RN 321601-50-7 HCAPLUS

h eb c g cg b cg eb

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R,2S,4R,5S)-5-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

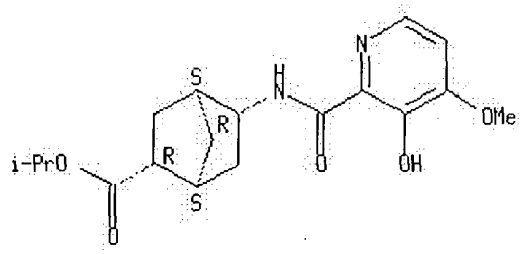
Relative stereochemistry.



RN 321601-51-8 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2S,4R,5S)-rel- (9CI) (CA INDEX NAME)

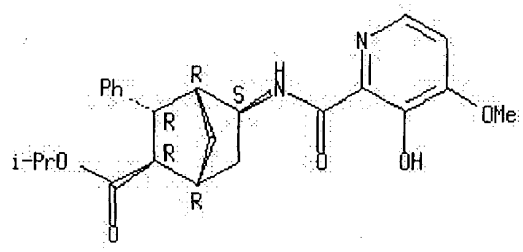
Relative stereochemistry.



RN 321601-52-9 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

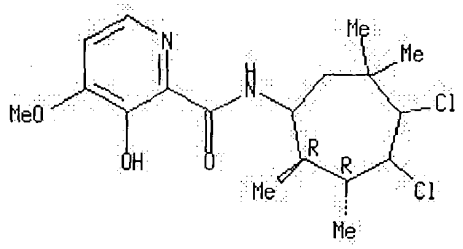
Relative stereochemistry.



RN 321744-54-1 HCAPLUS

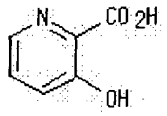
CN 2-Pyridinecarboxamide, N-[(2R,3R)-4,5-dichloro-2,3,6,6-tetramethylcycloheptyl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

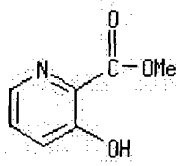


h eb c g cg b cg eb

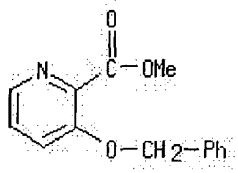
IT **874-24-8**, 3-Hydroxypyridine-2-carboxylic acid **62733-99-7**
, Methyl 3-hydroxypyridine-2-carboxylate **153140-15-9**
210300-09-7 **267416-45-5**
RL: **RCT (Reactant)**; RACT (Reactant or reagent)
(prepn. and fungicidal activity of heterocyclic arom. amides)
RN 874-24-8 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)



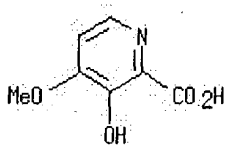
RN 62733-99-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



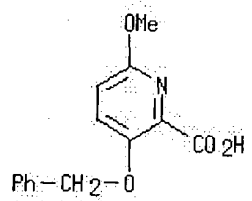
RN 153140-15-9 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 210300-09-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-45-5 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

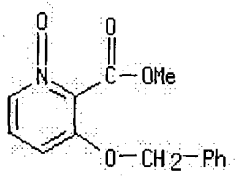


IT **151070-97-2P** **321596-51-4P** **321596-54-7P**
321596-55-8P **321596-56-9P** **321596-57-0P**
321596-58-1P **321597-64-2P** **321597-65-3P**
321597-72-2P **321597-73-3P** **321601-48-3P**
RL: **RCT (Reactant)**; SPN (Synthetic preparation); **PREP**

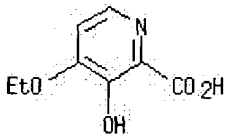
h eb c g cg b cg eb

(Preparation); RACT (Reactant or reagent)
(prepn. and fungicidal activity of heterocyclic arom. amides)

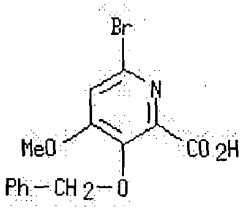
RN 151070-97-2 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester, 1-oxide (9CI) (CA INDEX NAME)



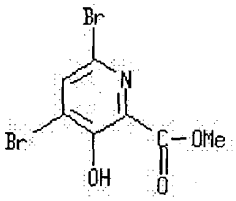
RN 321596-51-4 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4-ethoxy-3-hydroxy- (9CI) (CA INDEX NAME)



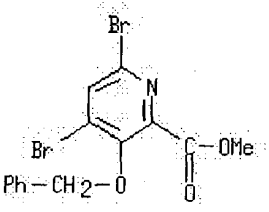
RN 321596-54-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 321596-55-8 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



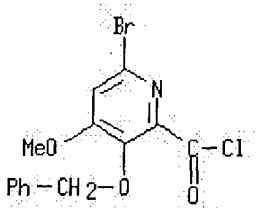
RN 321596-56-9 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



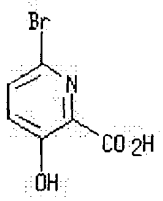
RN 321596-57-0 HCAPLUS

h eb c g cg b cg eb

CN 2-Pyridinecarbonyl chloride, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

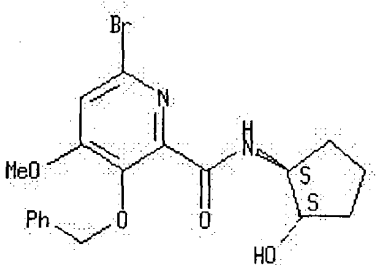


RN 321596-58-1 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy- (9CI) (CA INDEX NAME)



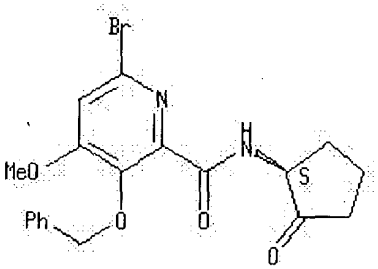
RN 321597-64-2 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-N-[(1S,2S)-2-hydroxycyclopentyl]-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321597-65-3 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-N-[(1S)-2-oxocyclopentyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

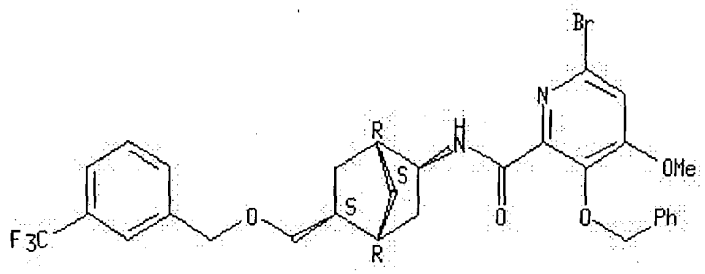
Absolute stereochemistry.



RN 321597-72-2 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

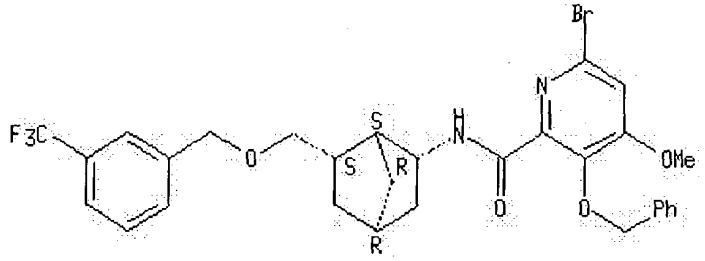
Relative stereochemistry.

h , eb c g cg b cg eb

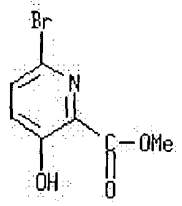


RN 321597-73-3 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromó-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

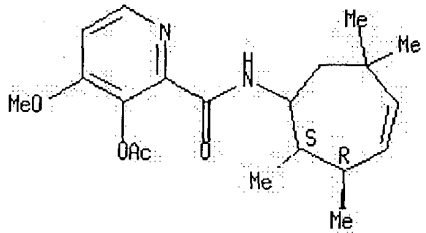


RN 321601-48-3 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



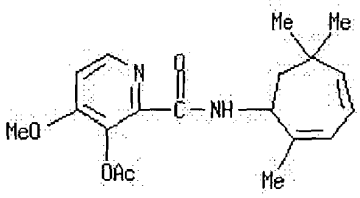
IT **328255-69-2P 328255-70-5P 328255-71-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**
(prepn. of heterocyclic arom. amides as fungicides)
RN 328255-69-2 HCAPLUS
CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-[(2R,3S)-2,3,6,6-tetramethyl-4-cyclohepten-1-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

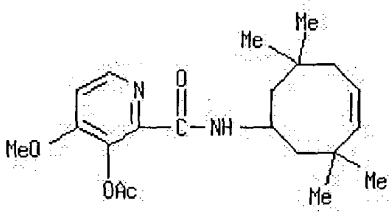


RN 328255-70-5 HCAPLUS
CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-(2,6,6-trimethyl-2,4-cycloheptadien-1-yl)- (9CI) (CA INDEX NAME)

h eb c g cg b cg eb



RN 328255-71-6 HCAPLUS
CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-(3,3,7,7-tetramethyl-4-cycloocten-1-yl)- (9CI) (CA INDEX NAME)



L30 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:63978 HCAPLUS
DOCUMENT NUMBER: 134:131431
TITLE: Fungicidal heterocyclic aromatic amides and their compositions, methods of use and preparation
INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter
PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005769	A2	20010125	WO 2000-US19794	20000720
WO 2001005769	A3	20011122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1196388	A2	20020417	EP 2000-950470	20000720
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JP 2003528806	T2	20030930	JP 2001-511430	20000720
BR 2000012615	A	20040330	BR 2000-12615	20000720

h eb c g cg b cg eb

US 6355660	B1	20020312	US 2000-632930		20000804
US 2002177578	A1	20021128	US 2001-22413		20011213
US 2003018052	A1	20030123	US 2001-22207		20011213
US 2003018012	A1	20030123	US 2001-22511		20011213
US 6706740	B2	20040316			
US 2003022902	A1	20030130	US 2001-22483		20011213
US 2003022903	A1	20030130	US 2001-23497		20011213
ZA 2002000436	A	20040302	ZA 2002-436		20020117
US 2004034025	A1	20040219	US 2002-307844		20021202
US 2004048864	A1	20040311	US 2002-307710		20021202
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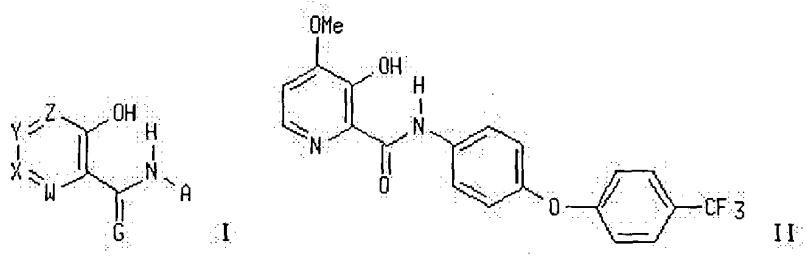
			US 1999-149977P	P	19990820
			US 1999-150248P	P	19990823
			US 2000-620662	A3	20000720
			WO 2000-US19794	W	20000720
			US 2000-632930	A3	20000804

OTHER SOURCE(S): MARPAT 134:131431

GI



AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxymethyl, CHF2, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide functionality are prepd. and disclosed as antifungal agents, particularly for plants. Thus, pyridinyl carboxamide II was prepd. via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal compn. consists of a compd. of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., Plasmopara viticola (Downy Mildew of Grape), Phytophthora infestans (Late Blight of Tomato), and Venturia inaequalis (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT 267415-93-0P 321597-82-4P 321597-85-7P
321597-86-8P 321598-00-9P 321598-03-2P
321598-04-3P 321598-13-4P 321598-20-3P
321598-21-4P 321598-27-0P 321598-47-4P
321598-48-5P 321598-49-6P 321598-50-9P
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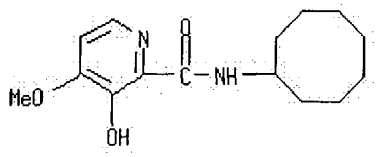
321598-71-4P 321600-41-3P 321601-50-7P

h eb c g cg b cg eb

321601-51-8P 321601-52-9P 321744-54-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. and fungicidal activity of heterocyclic arom. amides)
RN 267415-93-0 HCAPLUS
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



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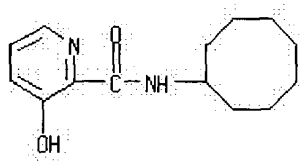
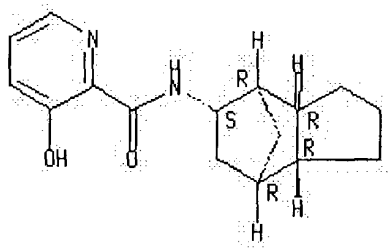
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy- (9CI) (CA INDEX NAME)



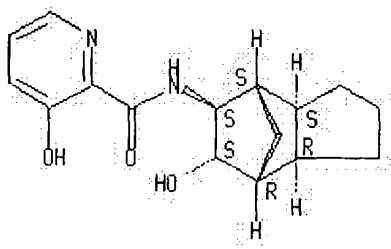
RN 321597-85-7 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5S,7R,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



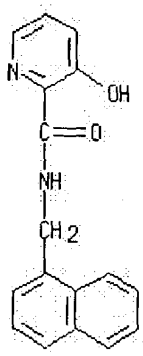
RN 321597-86-8 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5R,6R,7S,7aS)-octahydro-6-hydroxy-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



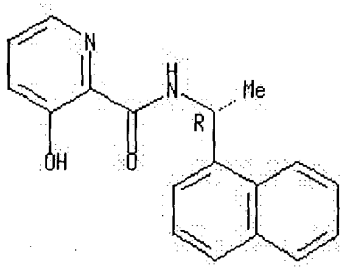
RN 321598-00-9 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

h eb c g cg b cg eb



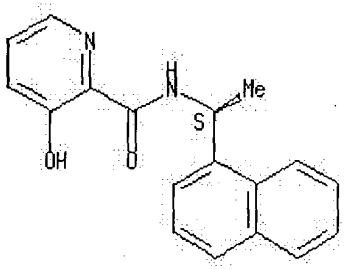
RN 321598-03-2 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R)-1-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

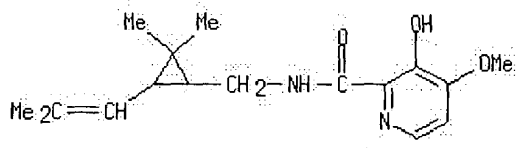


RN 321598-04-3 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1S)-1-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321598-13-4 HCAPLUS
CN 2-Pyridinecarboxamide, N-[[2,2-dimethyl-3-(2-methyl-1-propenyl)cyclopropyl]methyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-20-3 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-N-(2-hydroxycyclopentyl)-4-methoxy- (9CI) (CA INDEX NAME)

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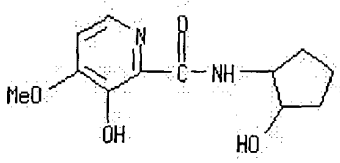

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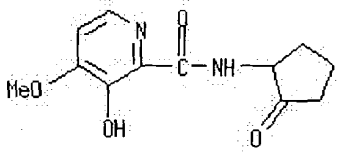
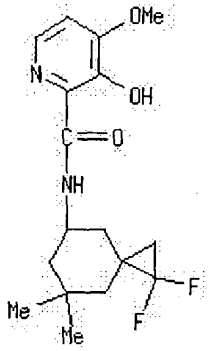
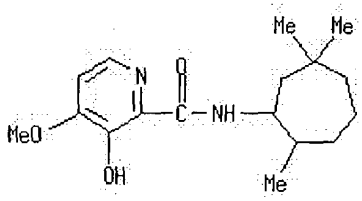
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2-oxocyclopentyl)- (9CI) (CA INDEX NAME)



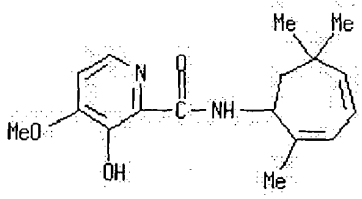
RN 321598-27-0 HCAPLUS
CN 2-Pyridinecarboxamide, N-(1,1-difluoro-7,7-dimethylspiro[2.5]oct-5-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-47-4 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethylcycloheptyl)-(9CI) (CA INDEX NAME)



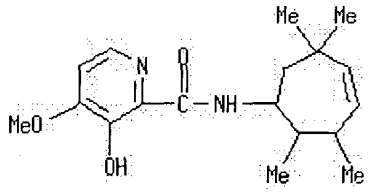
RN 321598-48-5 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethyl-2,4-cycloheptadien-1-yl)- (9CI) (CA INDEX NAME)



RN 321598-49-6 HCAPLUS

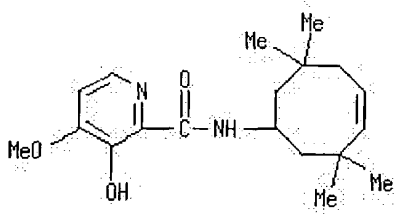
h eb c g cg b cg eb

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,3,6,6-tetramethyl-4-cyclohepten-1-yl)- (9CI) (CA INDEX NAME)



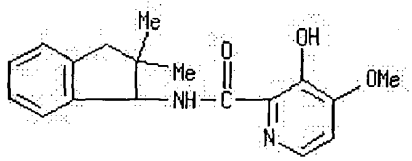
RN 321598-50-9 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3,3,7,7-tetramethyl-4-cycloocten-1-yl)- (9CI) (CA INDEX NAME)



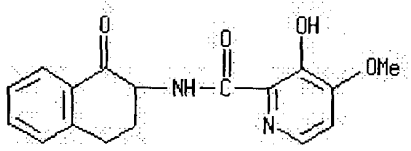
RN 321598-51-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-52-1 HCAPLUS

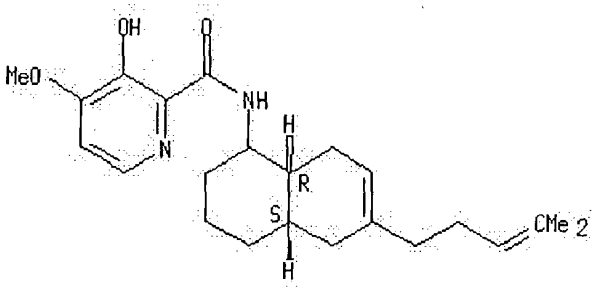
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 321598-53-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(4aR,8aS)-1,2,3,4,4a,5,8,8a-octahydro-6-(4-methyl-3-pentenyl)-1-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

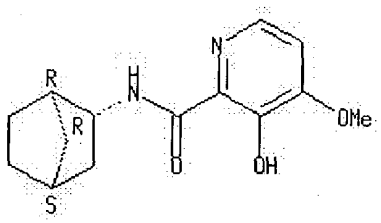
Relative stereochemistry.



h eb c g cg b cg eb

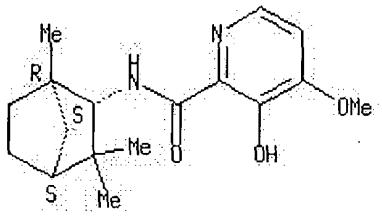
RN 321598-54-3 HCAPLUS
CN 2-Pyridinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



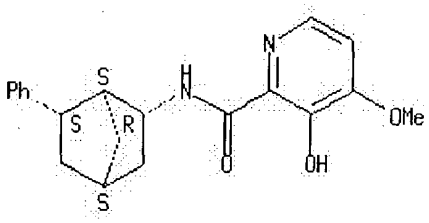
RN 321598-55-4 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S)-1,3,3-trimethylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



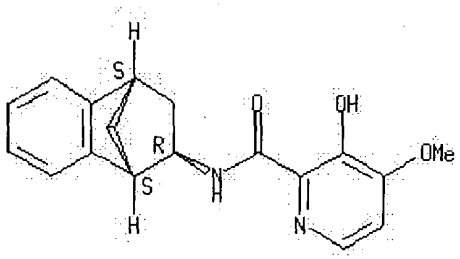
RN 321598-56-5 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,6R)-6-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-57-6 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R)-1,2,3,4-tetrahydro-1,4-methanonaphthalen-2-yl]-, rel- (9CI) (CA INDEX NAME)

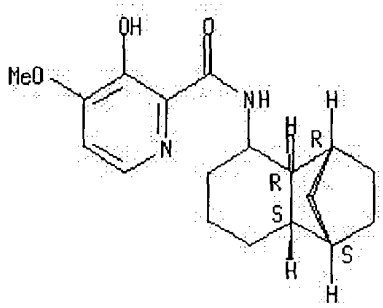
Relative stereochemistry.



RN 321598-58-7 HCAPLUS
CN 2-Pyridinecarboxamide, N-[(1R,4S,4aS,8aR)-decahydro-1,4-methanonaphthalen-5-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

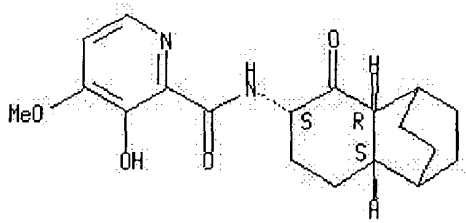
h eb c g cg b cg eb

Relative stereochemistry.



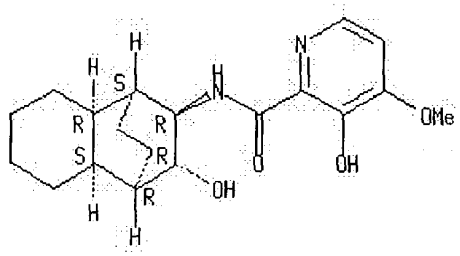
RN 321598-59-8 HCAPLUS
CN 2-Pyridinecarboxamide, N-[(4aR,6S,8aS)-decahydro-5-oxo-1,4-ethanonaphthalen-6-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



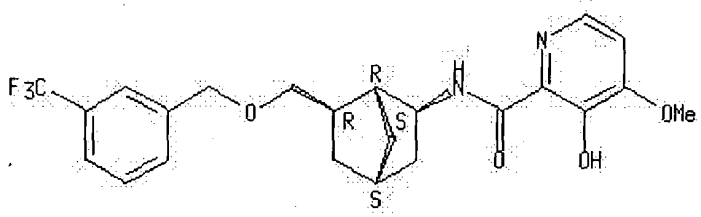
RN 321598-60-1 HCAPLUS
CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,4aR,8aS)-decahydro-3-hydroxy-1,4-ethanonaphthalen-2-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-61-2 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

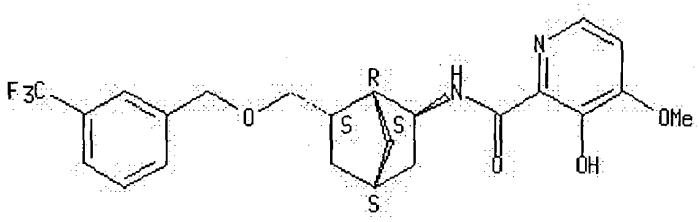
Relative stereochemistry.



RN 321598-62-3 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6S)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

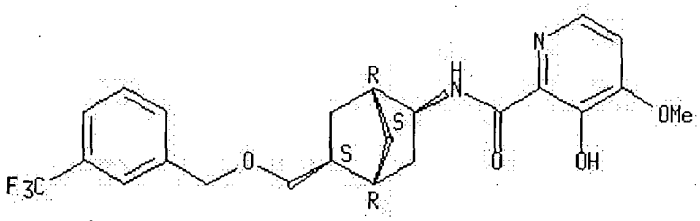
h eb c g cg b cg eb

Relative stereochemistry.



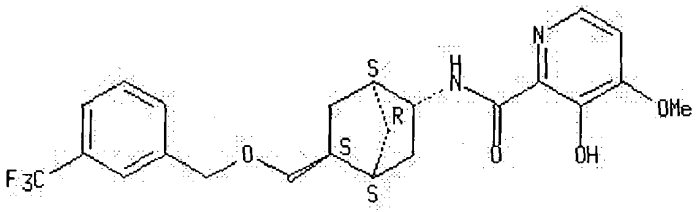
RN 321598-63-4 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



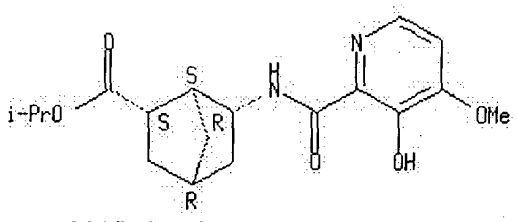
RN 321598-64-5 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5R)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-65-6 HCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2R,4S,6S)-rel- (9CI) (CA INDEX NAME)

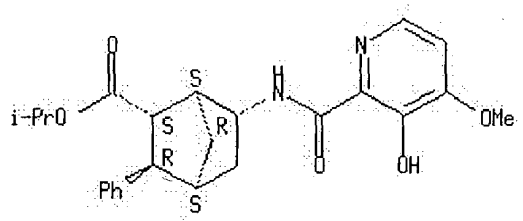
Relative stereochemistry.



RN 321598-66-7 HCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3S,4R,6S)-rel- (9CI) (CA INDEX NAME)

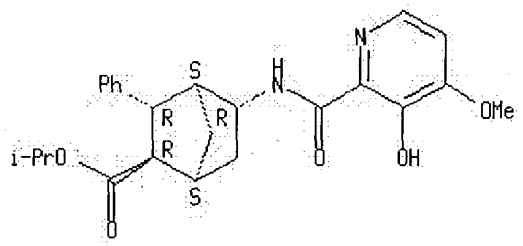
h eb c g cg b cg eb

Relative stereochemistry.



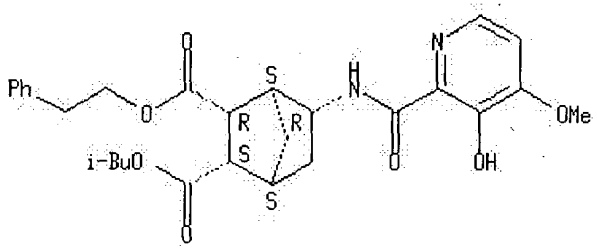
RN 321598-67-8 HCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2S,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



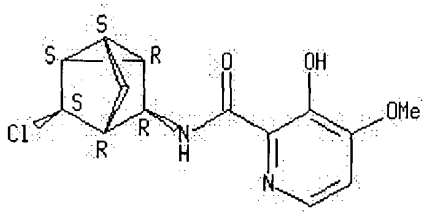
RN 321598-68-9 HCAPLUS
CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 2-(2-methylpropyl) 3-(2-phenylethyl) ester, (1R,2R,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



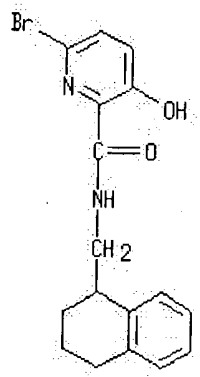
RN 321598-71-4 HCAPLUS
CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,5R,6R)-5-chlorotricyclo[2.2.1.02,6]hept-3-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



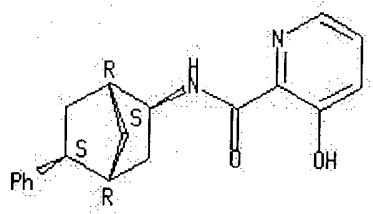
RN 321600-41-3 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-3-hydroxy-N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

h eb c g cg b cg eb



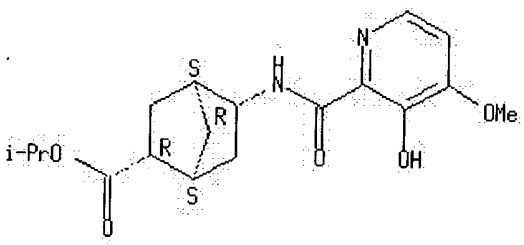
RN 321601-50-7 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R,2S,4R,5S)-5-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



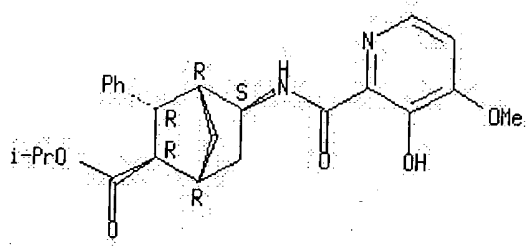
RN 321601-51-8 HCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2S,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321601-52-9 HCAPLUS
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

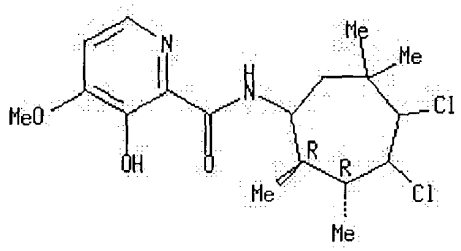


RN 321744-54-1 HCAPLUS

h eb c g cg b cg eb

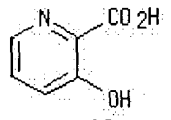
CN 2-Pyridinecarboxamide, N-[(2R,3R)-4,5-dichloro-2,3,6,6-tetramethylcycloheptyl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

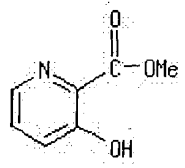


IT **874-24-8**, 3-Hydroxypyridine-2-carboxylic acid **62733-99-7**, Methyl 3-hydroxypyridine-2-carboxylate **153140-15-9** **210300-09-7** **267416-45-5**
RL: **RCT (Reactant)**; RACT (Reactant or reagent)
(prepn. and fungicidal activity of heterocyclic arom. amides)

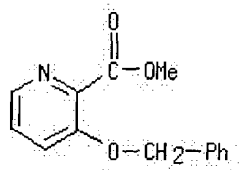
RN 874-24-8 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)



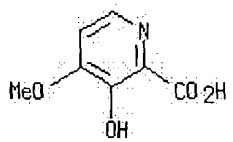
RN 62733-99-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



RN 153140-15-9 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

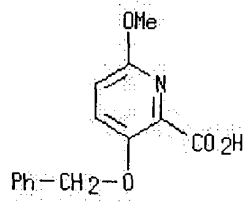


RN 210300-09-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



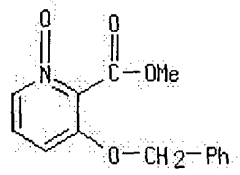
RN 267416-45-5 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

h eb c g cg b cg eb

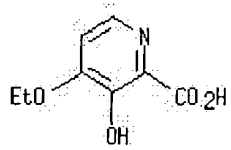


IT 151070-97-2P 321596-51-4P 321596-54-7P
321596-55-8P 321596-56-9P 321596-57-0P
321596-58-1P 321597-64-2P 321597-65-3P
321597-72-2P 321597-73-3P 321601-48-3P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. and fungicidal activity of heterocyclic arom. amides)

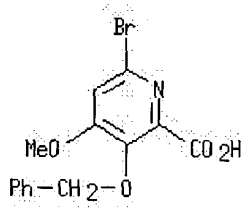
RN 151070-97-2 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester, 1-oxide (9CI) (CA INDEX NAME)



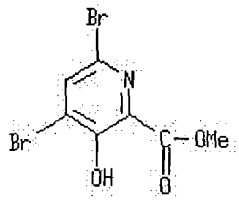
RN 321596-51-4 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4-ethoxy-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321596-54-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

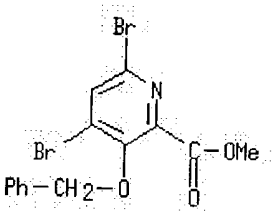


RN 321596-55-8 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

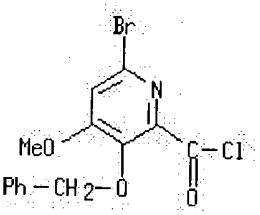


h eb c g cg b cg eb

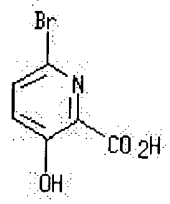
RN 321596-56-9 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 321596-57-0 HCAPLUS
CN 2-Pyridinecarbonyl chloride, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

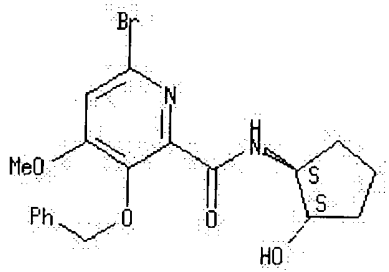


RN 321596-58-1 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321597-64-2 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-N-[(1S,2S)-2-hydroxycyclopentyl]-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

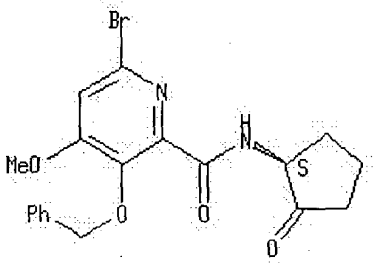
Absolute stereochemistry.



RN 321597-65-3 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-N-[(1S)-2-oxocyclopentyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

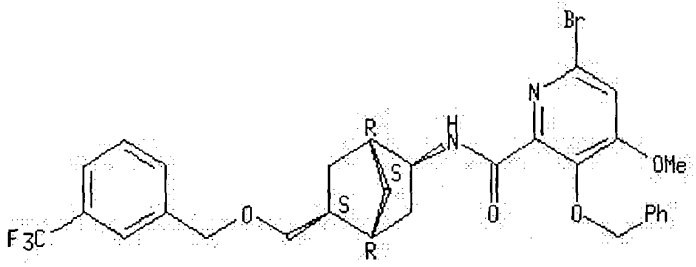
Absolute stereochemistry.

h eb c g cg b cg eb



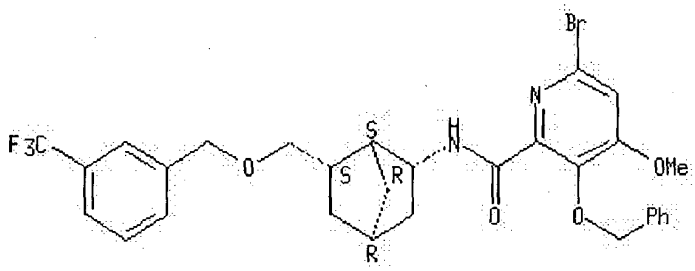
RN 321597-72-2 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

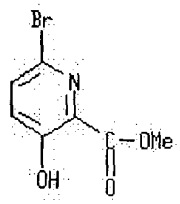


RN 321597-73-3 HCAPLUS
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321601-48-3 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Entry References

ACCESSION NUMBER: 2000:314676 HCAPLUS
DOCUMENT NUMBER: 132:334362
TITLE: Preparation of picolinamide derivatives and pest

h eb c g cg b cg eb

controllers containing the same as the active ingredient

INVENTOR(S): Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026191	A1	20000511	WO 1999-JP6142	19991104
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1134214	A1	20010919	EP 1999-954375	19991104
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AU 771975	B2	20040408	AU 2000-10768	19991104
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			WO 1999-JP6142 W	19991104

OTHER SOURCE(S): MARPAT 132:334362

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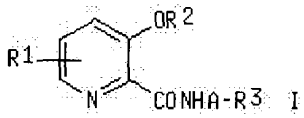


I

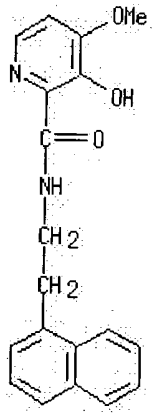
AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R1 is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or cyclohexyl or those wherein A is alkylene and R3 is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides contg. the same; and a process for the prepn. of the compds. Thus, a soln. of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxypicolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

IT 267415-81-6P 267415-92-9P 267415-93-0P
267416-05-7P 267416-06-8P 267416-15-9P
267416-28-4P 267416-29-5P 267416-30-8P

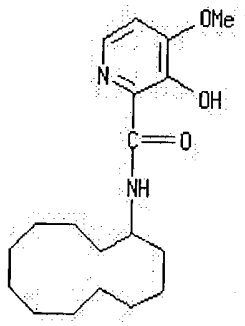
h eb c g cg b cg eb

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of picolinamide derivs. as pest controllers)

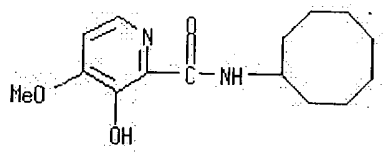
RN 267415-81-6 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[2-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 267415-92-9 HCAPLUS
CN 2-Pyridinecarboxamide, N-cyclododecyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



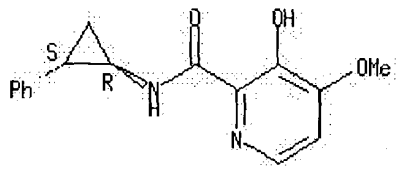
RN 267415-93-0 HCAPLUS
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



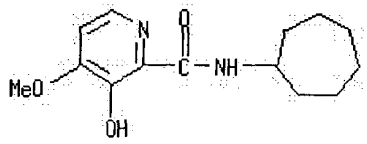
RN 267416-05-7 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

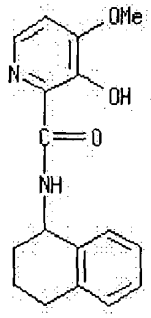
h eb c g cg b cg eb



RN 267416-06-8 HCAPLUS
CN 2-Pyridinecarboxamide, N-cycloheptyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-15-9 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 267416-28-4 HCAPLUS
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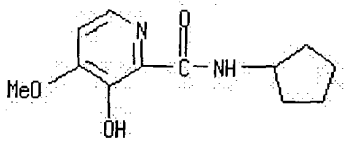
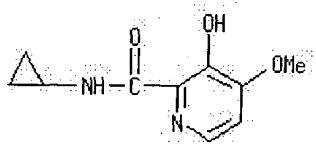
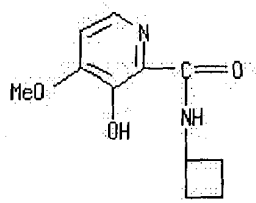


RN 267416-29-5 HCAPLUS
CN 2-Pyridinecarboxamide, N-cyclopropyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

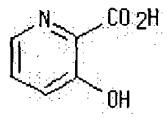


RN 267416-30-8 HCAPLUS
CN 2-Pyridinecarboxamide, N-cyclobutyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

h eb c g cg b cg eb



IT 874-24-8, 3-Hydroxypicolinic acid
RL: **RCT (Reactant)**; RACT (Reactant or reagent)
(prepn. of picolinamide derivs. as pest controllers)
RN 874-24-8 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)



IT 62733-99-7P 151070-98-3P, 3-Benzyloxy-6-hydroxy-
picolinic acid methyl ester 153140-15-9P 164721-32-8P
170689-56-2P 210300-09-7P 234113-31-6P
234113-32-7P 234113-33-8P 267416-43-3P
267416-44-4P 267416-45-5P 267416-46-6P
267416-47-7P 267416-94-4P 267416-95-5P
267416-96-6P 267416-97-7P 267416-98-8P
267417-00-5P 267417-01-6P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of picolinamide derivs. as pest controllers)
RN 62733-99-7 HCAPLUS
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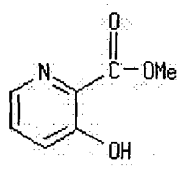
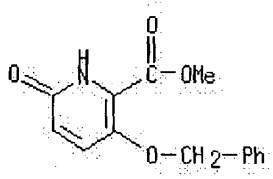
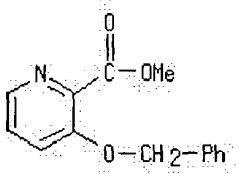


RN 151070-98-3 HCAPLUS
CN 2-Pyridinecarboxylic acid, 1,6-dihydro-6-oxo-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

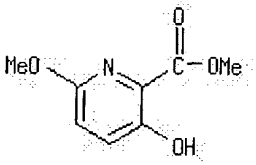


RN 153140-15-9 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

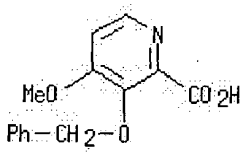
h eb c g cg b cg eb



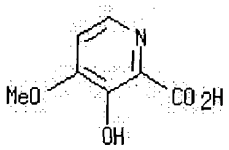
RN 164721-32-8 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy-6-methoxy-, methyl ester (9CI) (CA INDEX NAME)



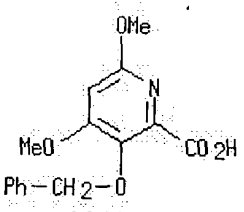
RN 170689-56-2 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



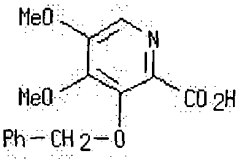
RN 210300-09-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 234113-31-6 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4,6-dimethoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

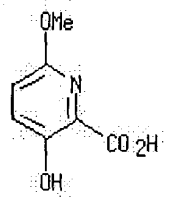


RN 234113-32-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4,5-dimethoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

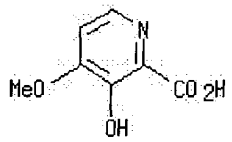


h eb c g cg b cg eb

RN 234113-33-8 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy-6-methoxy- (9CI) (CA INDEX NAME)

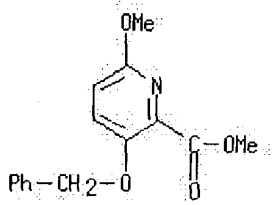


RN 267416-43-3 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3-hydroxy-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

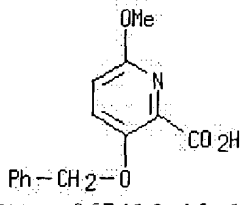


HCl

RN 267416-44-4 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 267416-45-5 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 267416-46-6 HCAPLUS
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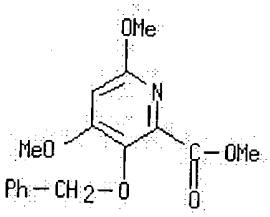

RN 267416-47-7 HCAPLUS
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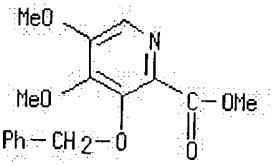

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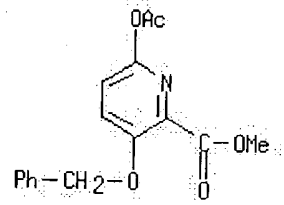
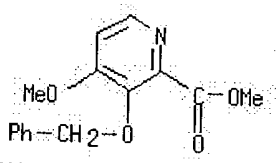


RN 267416-95-5 HCAPLUS
CN 2-Pyridinecarboxylic acid, 4-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



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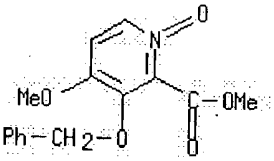

RN 267416-97-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 6-(acetyloxy)-4-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

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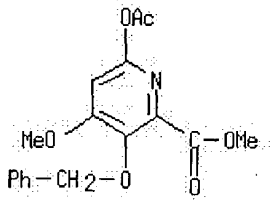
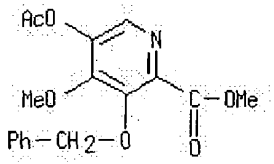


RN 267416-98-8 HCAPLUS
CN 2-Pyridinecarboxylic acid, 5-(acetyloxy)-4-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 267417-00-5 HCAPLUS
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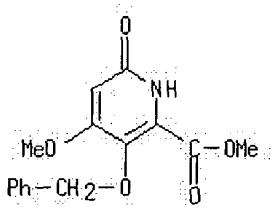

RN 267417-01-6 HCAPLUS
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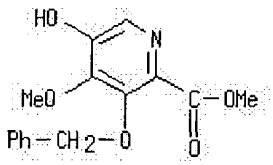

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold

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FULL ESTIMATED COST	19.00	685.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.10	-25.20

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FILE COVERS 1907-1966

h eb c g cg b cg eb

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FILE LAST UPDATED:  01 May 1997 (19970501/UP)

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  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

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  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=> d his

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L1              STRUCTURE UPLOADED
L2              STRUCTURE UPLOADED
L3              STRUCTURE UPLOADED
L4           36 S L3
L5          666 S L3 FULL
L6              STRUCTURE UPLOADED
L7           50 S L6
L8         1060 S L6 FULL
L9          394 S L8 NOT L5

     FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004
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L11           1 S L10 AND  IMAMURA, K?/AU
L12          22 S L10 NOT L11
L13           0 S L12 AND MITOMO, K?/AU
L14           0 S L12 AND YAMADA, N?/AU
L15           0 S L12 AND YAMAMOTO, K?/AU
L16           0 S L12 AND TERAOKA, T?/AU
L17           0 S L12 AND SAKANAKA, O?/AU
L18           0 S L12 AND KURIHARA, H?/AU
L19           0 S L12 AND TANIGUCHI, M?/AU

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L20           0 S L9

     FILE 'HCAPLUS' ENTERED AT 01:02:10 ON 08 NOV 2004
L21          16 S L9/THU
L22           0 S L21 AND FUNG?
L23           0 S L21 AND PLANT
L24          10 S L21 AND INFECT?

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L26           6 S L25
L27         164 S L25 FULL

     FILE 'HCAPLUS' ENTERED AT 01:08:52 ON 08 NOV 2004
L28         168 S L27/RCT
L29          18 S L9/PREP
L30           3 S L29 AND L28

     FILE 'CAOLD' ENTERED AT 01:10:12 ON 08 NOV 2004
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h eb c g cg b cg eb

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=> s l9 and l27
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             19 L27
L31           0 L9 AND L27

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